

=>

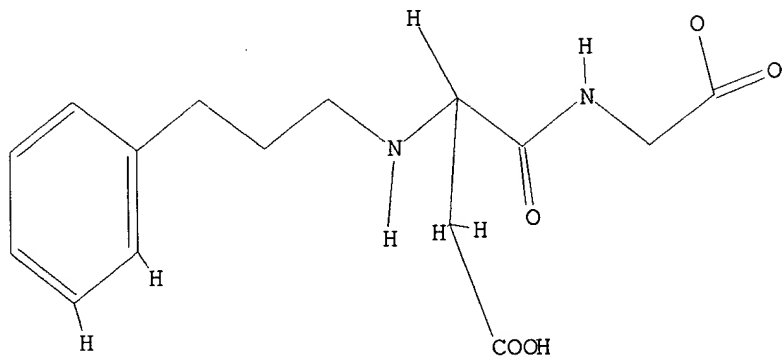
Uploading C:\Program Files\Stnexp\Queries\197.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 13:31:04 ON 13 JAN 2006)

L1 FILE 'CAPLUS' ENTERED AT 13:31:15 ON 13 JAN 2006
STRUCTURE UPLOADED
S L1

L2 FILE 'REGISTRY' ENTERED AT 13:31:34 ON 13 JAN 2006
22 S L1

L3 FILE 'CAPLUS' ENTERED AT 13:31:34 ON 13 JAN 2006
34 S L2
L4 3 S L3 AND PY<1998
S L1

L5 FILE 'REGISTRY' ENTERED AT 13:33:03 ON 13 JAN 2006
3252 S L1 FULL

L6 FILE 'CAPLUS' ENTERED AT 13:33:08 ON 13 JAN 2006
1580 S L5 FULL
L7 397 S L6 AND PY<1998
L8 29 S L7 AND ASPART?
L9 29 S L8 AND PY<1998

=>

=> s 11 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 13:33:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 246744 TO ITERATE

100.0% PROCESSED 246744 ITERATIONS
SEARCH TIME: 00.00.05

3252 ANSWERS

L5 3252 SEA SSS FUL L1

L6 1580 L5

=> s 16 and py<1998
18294331 PY<1998

L7 397 L6 AND PY<1998

=> s 17 and aspart?
119767 ASPART?

L8 29 L7 AND ASPART?

=> s 18 and py<1998
18294331 PY<1998

L9 29 L8 AND PY<1998

=> d 1-10 ibib abs hitstr

L9 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:1501 CAPLUS

DOCUMENT NUMBER: 128:60717

TITLE: Peptides and other pharmacophores of group B
meningococcal capsular polysaccharide for vaccine use

INVENTOR(S): Laing, Peter; Darsley, Michael; Tighe, Patrick Jason

PATENT ASSIGNEE(S): Peptide Therapeutics Limited, UK; Laing, Peter;
Darsley, Michael; Tighe, Patrick Jason

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746582	A1	19971211	WO 1997-GB1518	19970605 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9730386	A1	19980105	AU 1997-30386	19970605
PRIORITY APPLN. INFO.:			GB 1996-11673	A 19960605
			WO 1997-GB1518	W 19970605
AB	The authors disclose an anti-meningococcal vaccine, particularly for group-B serotype meningococcus. The invention provides antigenic peptide			

ligands which can act as an immunogen capable of eliciting an immune response to produce antibodies against the capsular polysaccharide of group-B meningococci (CPS-B). The immunogen may be in the form of a polypeptide or in the form of a conjugate coupled to a carrier mol. The invention also provides antibodies for use in treatment and/or prophylaxis.

IT 200424-85-7

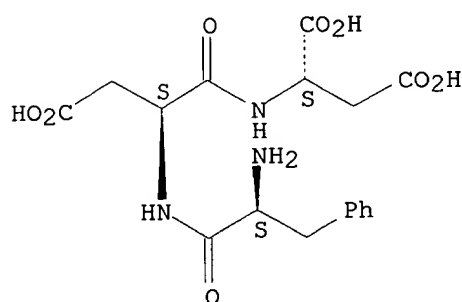
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)

(of peptides mimetic for group B meningococcal capsular polysaccharide in relation to vaccine use)

RN 200424-85-7 CAPLUS

CN L-Aspartic acid, L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:661627 CAPLUS

DOCUMENT NUMBER: 125:321399

TITLE: Substrate specificities of pepstatin-insensitive carboxyl proteinases from Gram-negative bacteria

AUTHOR(S): Ito, Masaaki; Dunn, Ben M.; Oda, Kohei

CORPORATE SOURCE: Dep. Applied Biology, Kyoto Inst. Technology, Kyoto, 606, Japan

SOURCE: Journal of Biochemistry (Tokyo) (1996), 120(4), 845-850

CODEN: JOBIAO; ISSN: 0021-924X

PUBLISHER: Japanese Biochemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Pseudomonas carboxyl proteinase (PCP), isolated from Pseudomonas sp. 101, and Xanthomonas carboxyl proteinase (XCP), isolated from Xanthomonas sp. T-22, are the first and second examples of unique carboxyl proteinases [EC 3.4.23.33] which are insensitive to aspartic proteinase inhibitors, such as pepstatin, diazoacetyl-DL-norleucine methylester, and 1,2-epoxy-3(p-nitrophenoxy)propane. The substrate specificities of PCP and XCP were studied using a series of synthetic chromogenic peptide substrates with the general structure, P5-P4-P3-P2-Phe-Nph-P2'-P3' (P5, P4, P3, P2, P2', P3': a variety of amino acids, Nph is p-nitro-L-phenylalanine, and the Phe-Nph bond is cleaved). PCP and XCP were shown to hydrolyze a synthetic substrate, Lys-Pro-Ala-Leu-Phe-Nph-Arg-Leu, most effectively among 28 substrates. The kinetic parameters of this peptide for PCP were $K_m = 6.3 \mu M$, $k_{cat} = 51.4 s^{-1}$, and $k_{cat}/K_m = 8.16 \mu M^{-1} s^{-1}$. The kinetic parameters for XCP were $K_m = 3.6 \mu M$, $k_{cat} = 52.2 s^{-1}$, and $k_{cat}/K_m = 14.5 \mu M^{-1} s^{-1}$. PCP showed a stricter substrate specificity than XCP. I.e., the specificity constant (k_{cat}/K_m) of each substrate for PCP was in general $<0.5 \mu M^{-1} s^{-1}$, but was drastically improved by the replacement of Lys by Leu at the P2 position. XCP showed a less stringent substrate specificity, with most of the peptides exhibiting reasonable k_{cat}/K_m values ($>1.0 \mu M^{-1} s^{-1}$). Thus it was found that the substrate specificities of PCP and XCP differ considerably in spite of the high similarity in their primary structures. In addition, tyrostatin was found to be a competitive inhibitor for XCP, with a K_i value of 2.1 nM, as well as

for PCP ($K_i = 2.6 \text{ nM}$).

IT 142234-15-9

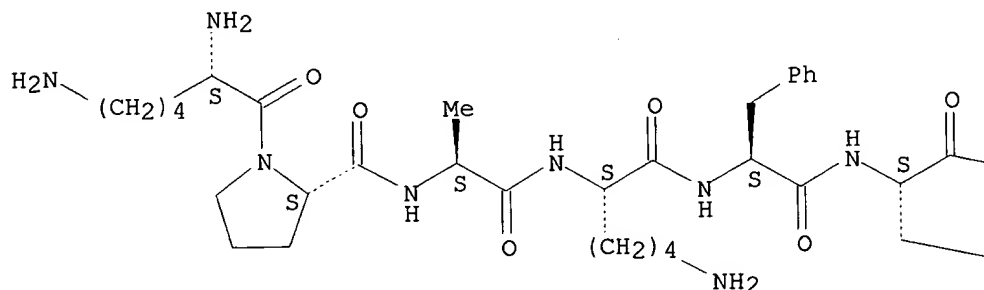
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(substrate specificities of pepstatin-insensitive carboxyl proteinases from Gram-neg. bacteria)

RN 142234-15-9 CAPLUS

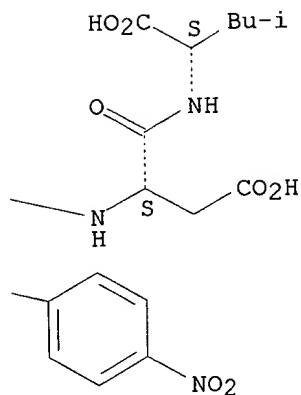
CN L-Leucine, L-lysyl-L-prolyl-L-alanyl-L-lysyl-L-phenylalanyl-4-nitro-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L9 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:484826 CAPLUS

DOCUMENT NUMBER: 125:189112

TITLE: Catalytic specificity of phosphotyrosine kinases Blk, Lyn, c-Src and Syk as assessed by phage display

AUTHOR(S): Schmitz, Rita; Baumann, Goetz; Gram, Hermann

CORPORATE SOURCE: Preclinical Res., Sandoz Pharma Ltd., Basel, CH-4002, Switz.

SOURCE: Journal of Molecular Biology (1996), 260(5), 664-677

CODEN: JMOBAK; ISSN: 0022-2836

PUBLISHER: Academic

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Protein tyrosine kinases (PTKs) are implicated in cell proliferation, differentiation, and receptor-mediated signaling events. Recruitment of intracellular PTKs into the signaling complex, often localized at the inner surface of the cell membrane, involves SH2 and SH3 domains attached to the catalytic kinase domain. While the interaction of SH2 and SH3

domains with their target sequences is well documented in a number of cases, the contribution of the catalytic domain itself in conferring specificity to a given signal cascade is not fully understood. We addressed this question and employed the phage display technique to assess the substrate requirements for the highly related Src-like PTKs c-Src, Blk, Lyn and the distantly related Syk. A diverse peptide library on phage was established, and after multiple rounds of phosphorylation and selection of phage displaying phosphotyrosine-containing peptides, canonical substrate sequences for each of the PTKs were enriched. The PTKs Blk and Lyn implicated in B cell signaling were found to prefer peptide substrates of the structure I/L-Y-D/E-X-L which resemble critical features of the ITAM motifs found in, e.g. the intracellular components Ig- α and Ig- β of the β cell receptor. All Src-like PTKs had a requirement for isoleucine or leucine in the position -1 with respect to the phosphorylated tyrosine residue in position 0. While Blk and Lyn had a strong preference for a neg. charged amino acid in position +1, c-Src preferred tryptophan or glycine in this position. Syk, not belonging to the Src-like PTK family, revealed a distinct substrate requirement for **aspartic** acid in position -1 and glutamic acid in position +1. In general, all PTKs we have tested had a strong preference for a particular amino acid in the positions -1 and +1 adjacent to the tyrosine residue.

IT 180780-84-1P 180782-31-4P

RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); PROC (Process)

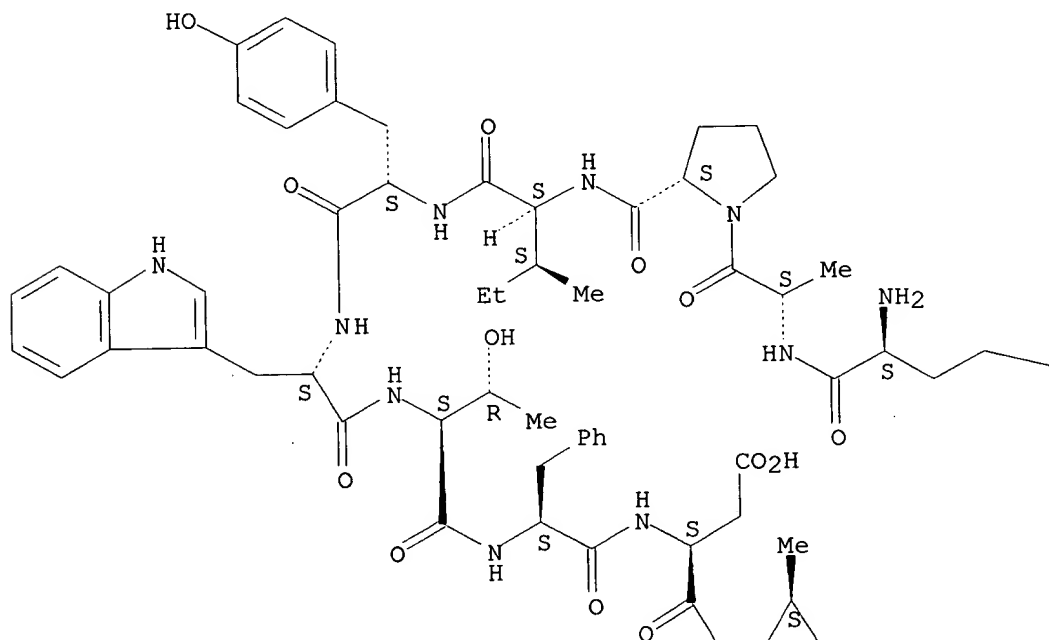
(catalytic specificity of protein phosphotyrosine kinases Blk, Lyn, c-Src and Syk as assessed by a phage-displayed peptide combinatorial library)

RN 180780-84-1 CAPLUS

CN L-Alanine, N-[N-[N-[N-[N-[I-(N-L- α -glutamyl-L-alanyl)-L-prolyl]-L-isoleucyl]-L-tyrosyl]-L-tryptophyl]-L-threonyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

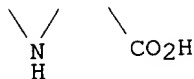
Absolute stereochemistry.

PAGE 1-A



—CO₂H

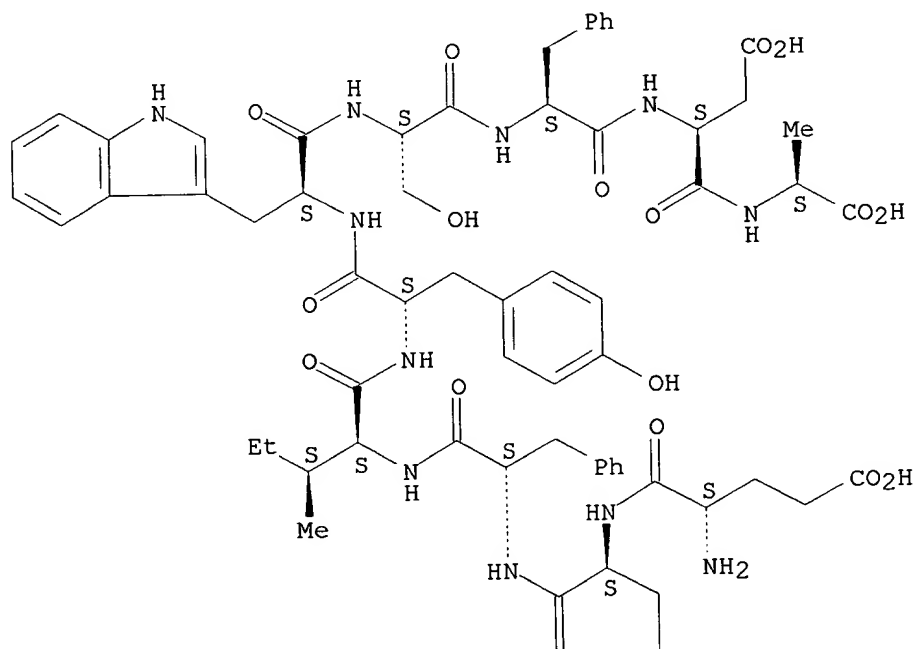
PAGE 2-A



RN 180782-31-4 CAPLUS
 CN L-Alanine, N-[N-[N-[N-[N-[N-[N-(N-L- α -glutamyl-L- α -glutamyl)-L-phenylalanyl]-L-isoleucyl]-L-tyrosyl]-L-tryptophyl]-L-seryl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



ACCESSION NUMBER: 1996:314488 CAPLUS

DOCUMENT NUMBER: 125:7812

TITLE: Studies of tum- peptide analogs define an alternative anchor that can be utilized by Ld ligands lacking the consensus P2 anchor

AUTHOR(S): Robinson, Ruth A.; Lee, David R.

CORPORATE SOURCE: Dep. Mol. Microbiol. Immunol., Univ. Missouri, Columbia, MO, 65212, USA

SOURCE: Journal of Immunology (1996), 156(11), 4266-4273

CODEN: JOIMA3; ISSN: 0022-1767

PUBLISHER: American Association of Immunologists

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To determine how peptides that lack a consensus binding motif interact with class I mols., the authors studied the binding of the tumor-associated tum-P91A 14-22 (tum-) peptide to Ld. Previously, a proline at position 2 (P2) and a hydrophobic residue at P9 had been defined as anchors for Ld ligands. However, the tum- peptide lacks the P2 proline anchor. To compare how peptides with and without the P2 proline anchor bind to Ld, the authors analyzed the binding of monosubstituted analogs of the murine cytomegalovirus (MCMV) pp89 168-176 and the tum- peptides to Ld. As expected, the binding of both peptides was inhibited by substitutions at P9, the C-terminal anchor. As also predicted, the MCMV peptide was dependent upon its P2 proline for binding to Ld. By contrast, the binding of the tum- peptide to Ld is dependent primarily on a P8 **aspartate** residue. Interestingly, the p2Ca peptide that is immunodominant in allorecognition of Ld also lacks the P2 proline anchor and has been shown to depend on residues near the C terminus for binding to Ld. Furthermore, both the p2Ca and the tum- peptides can bind to Ld as octamers. These combined studies suggest that there are at least two alternative manners by which peptides can bind to Ld. Although most Ld ligands bind using a P2 proline anchor, the tum- and p2Ca peptides bind using alternative anchors in the C-terminal region.

IT 142606-55-1

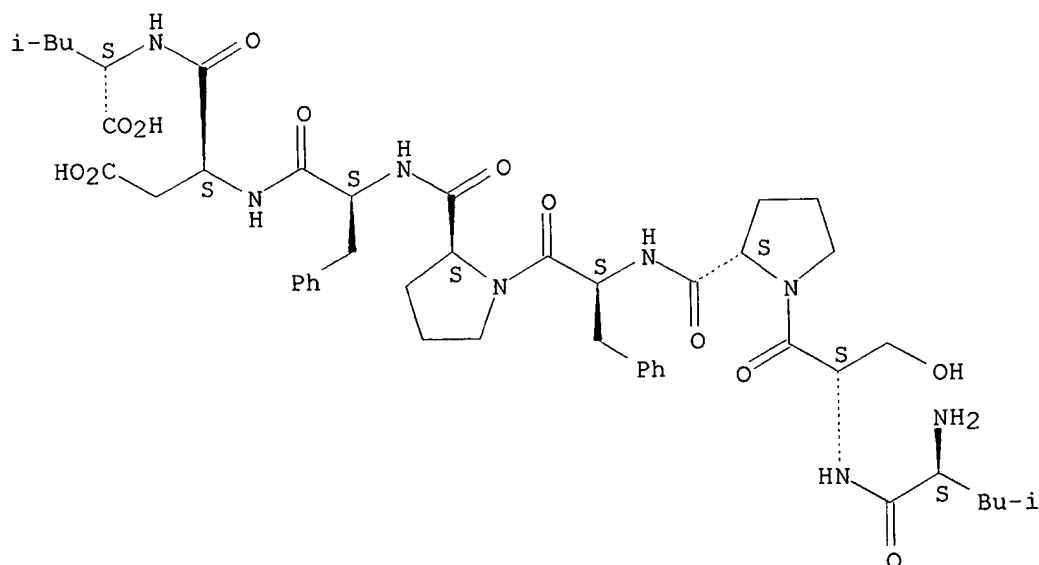
RL: PRP (Properties)

(alternative anchors that can be utilized by Ld ligands lacking consensus proline anchor)

RN 142606-55-1 CAPLUS

CN L-Leucine, L-leucyl-L-seryl-L-prolyl-L-phenylalanyl-L-prolyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1996:71594 CAPLUS

DOCUMENT NUMBER: 124:261751

TITLE: Preparation of amidinophenylacetylpeptide derivatives
useful as platelet aggregation inhibitors.INVENTOR(S): Garland, Robert B.; Miyano, Masateru; Zablocki,
Jeffery A.; Schretzman, Lori A.

PATENT ASSIGNEE(S): G. D. Searle and Co., USA

SOURCE: U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 665,119,
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5481021	A	19960102	US 1994-90127	19941222 <--
WO 9215607	A2	19920917	WO 1992-US1531	19920305 <--
WO 9215607	A3	19921029		
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9216666	A1	19921006	AU 1992-16666	19920305 <--
AU 662142	B2	19950824		
EP 574545	A1	19931222	EP 1992-909278	19920305 <--
EP 574545	B1	19941130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06505497	T2	19940623	JP 1992-508751	19920305 <--
JP 3258659	B2	20020218		

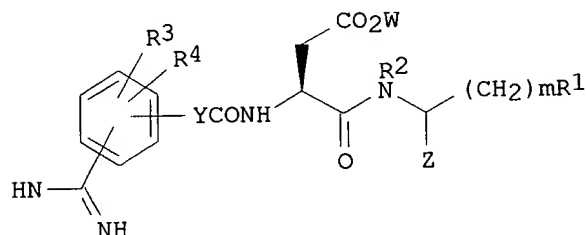
PRIORITY APPLN. INFO.:

US 1991-665119	B2	19910306
WO 1992-US1531	W	19920305

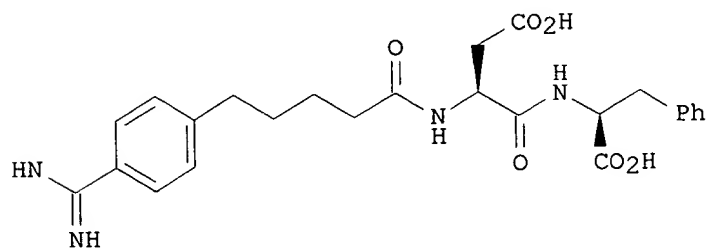
OTHER SOURCE(S):

MARPAT 124:261751

GI



I



II

AB Title compds. [I; R1 = (substituted) Ph, alkyl, heteroaryl, CO₂H; R2 = H, alkyl, (substituted) Ph, phenylalkyl; R3, R4 = H, alkyl, OH, alkoxy, halo; W = H, alkyl; Y = (substituted) alkyl, alkenyl, alkynyl, alkylcarbonylaminoalkyl; Z = H, CO₂H, alkylcarboxyl; m = 0-4], were prepared Thus, title compound (II), prepared by solution phase methods, at 0.006 mg/kg in dogs gave 84% inhibition of collagen-induced platelet aggregation.

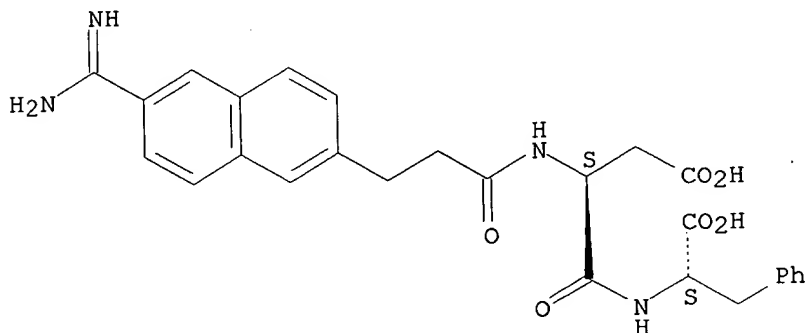
IT 175071-93-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylacetylpeptide derivs. useful as platelet aggregation inhibitors)

RN 175071-93-9 CAPLUS

CN L-Phenylalanine, N-[N-[3-[6-(aminoiminomethyl)-2-naphthalenyl]-1-oxopropyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:936281 CAPLUS

DOCUMENT NUMBER: 124:24530

TITLE: Comparison of the active site specificity of the **aspartic** proteinases based on a systematic series of peptide substrates
AUTHOR(S): Dunn, Ben M.; Scarborough, Paula E.; Lowther, W. Todd; Rao-Naik, Chetana
CORPORATE SOURCE: College Medicine, University Florida, Gainesville, FL, 32610-0245, USA
SOURCE: Advances in Experimental Medicine and Biology (1995), 362(Aspartic Proteinases), 1-9, 2 plates
CODEN: AEMBAP; ISSN: 0065-2598

PUBLISHER: Plenum

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A comparison of the active site specificity of the **aspartic** proteinases based on a systematic series of peptide substrates is presented.

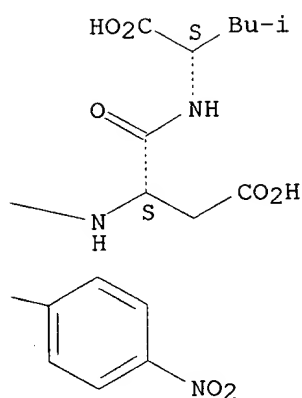
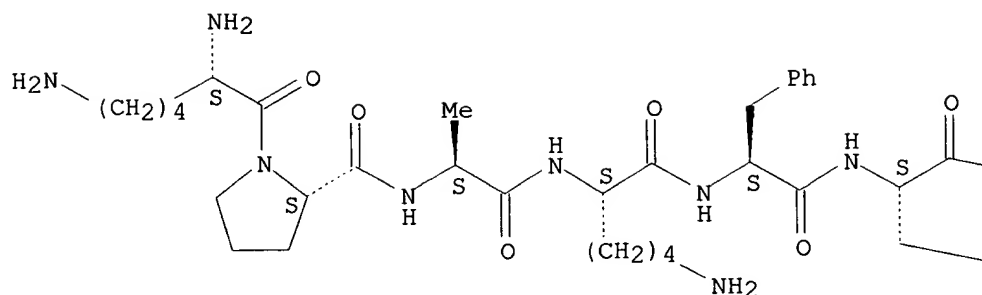
IT 142234-15-9

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(comparison of the active site specificity of the **aspartic** proteinases based on a systematic series of peptide substrates)

RN 142234-15-9 CAPLUS

CN L-Leucine, L-lysyl-L-prolyl-L-alanyl-L-lysyl-L-phenylalanyl-4-nitro-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:842306 CAPLUS

DOCUMENT NUMBER: 123:309749

TITLE: Detection of bioactive oligopeptides after microbore HPLC with electrochemical detection of their Cu(II) complexes: effect of operating parameters on sensitivity and selectivity

AUTHOR(S): Chen, Jian-Ge; Weber, Stephen G.

CORPORATE SOURCE: Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA

SOURCE: Analytical Chemistry (1995), 67(19), 3596-604

CODEN: ANCHAM; ISSN: 0003-2700

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We used a microbore reversed phase column for acetonitrile/0.1% aqueous TFA gradient elution separation of peptides with the detection of their copper complexes by electrochem. detection. The copper complexes are formed in a short (1 or 1.5 min) postcolumn reactor following mixing of the eluent with the postcolumn reaction phase. Detection can be at an upstream anode or a downstream cathode of a dual-electrode electrochem. detector. The following parameters have been investigated for their effect on the sensitivity and the selectivity of the procedure: postcolumn pH, buffer type, temperature, reaction time, and anode potential. Of the 23 bioactive peptides used, there are several that fall into classes according to their chemical and electrochem. behavior with copper(II): those with a blocked terminal amine, those with **aspartate** in the third position, those that have an electroactive amino acid, and those that have a cyclic structure formed by the amide backbone through a Cys-Cys disulfide bridge. Depending on these attributes, the operating parameters have an influence

on the sensitivity of the determination Uncomplicated peptides with a free amine terminus react rapidly in the postcolumn reactor and give signals in the range predicted by theory. There is evidence that longer peptides, and those with a blocked amine terminus, have a sensitivity limited by kinetic factors. The oxidns. of tyrosine and tryptophan in peptides are dramatically influenced by buffer type at pH 9.8. At pH 8.0, there is no signal from several peptides in phosphate buffer, while in borate there is a signal.

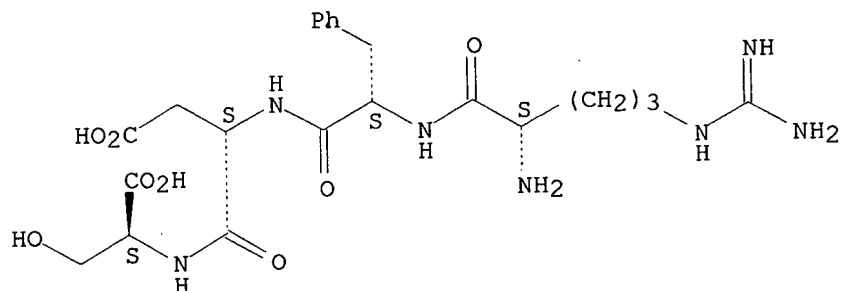
IT 102567-19-1

RL: ANT (Analyte); ANST (Analytical study)
(electrochem. detection of bioactive oligopeptides after microbore HPLC by forming copper-peptides complexes)

RN 102567-19-1 CAPLUS

CN L-Serine, L-arginyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:826253 CAPLUS

DOCUMENT NUMBER: 123:237743

TITLE: Bioreactivity of titanium implant alloys

AUTHOR(S): Kerber, Susan J.

CORPORATE SOURCE: Mat. Interface, Inc., Sussex, WI, 53089-2244, USA

SOURCE: Journal of Vacuum Science & Technology, A: Vacuum, Surfaces, and Films (1995), 13(5), 2619-23

CODEN: JVTAD6; ISSN: 0734-2101

PUBLISHER: American Institute of Physics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A study was conducted regarding the adsorption of peptides on com. pure Ti and Ti-6Al-4V. The peptides used were arginine-glycine-**aspartic** acid-alanine (RGDA), arginine-glycine-**aspartic** acid-serine (RGDS), and arginine-phenylalanine-**aspartic** acid-serine (RFDS). The tripeptide RGD is known to be important for biol. specific adhesion reactions. This research was conducted to investigate the reason for a tendency toward thrombus formation with Ti-6Al-4V that is not observed with cp Ti. After argon plasma cleaning, coupons of the titanium alloys were inserted into solns. with variable concns. (0.0625-2 mg/mL) of an individual peptide group under constant temperature and time conditions. The samples were rinsed, dried, and analyzed with XPS. Adsorption isotherms were obtained by plotting the relative amount of peptide adhesion as a function of solution concentration. It was postulated through the XPS and adsorption isotherm data that the major adhesion mechanism for the peptides to the titanium alloys was hydrogen bonding. Titanium and Ti-6Al-4V are hypothesized to react differently as implants because Ti-6Al-4V has a more electropos. surface, which allows fewer hydrogen bonds to form. Hydrophilic reactions were proposed to be of secondary importance during bioadhesion, influencing the structure of the second layer adsorbed. There was no correlation found between the net charge of the peptide groups and their adhesion to the alloys.

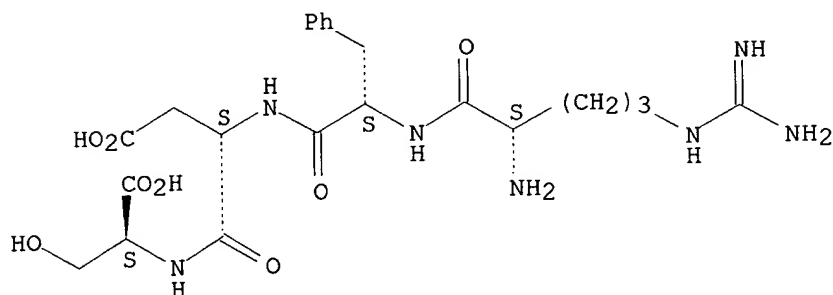
IT 102567-19-1

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(bioreactivity of titanium implant alloys)

RN 102567-19-1 CAPLUS
CN L-Serine, L-arginyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



L9 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:206686 CAPLUS

DOCUMENT NUMBER: 122:74922

DOCUMENT NUMBER: 122:74922
TITLE: Stabilization of a type VI turn in a family of linear peptides in water solution

AUTHOR(S): Yao, Jian; Feher, Victoria A.; Espejo, Fabiola;
Reymond, Martine T.; Wright, Peter E.; Dyson, H. Jane

CORPORATE SOURCE: Department of Molecular Biology, The Scripps Research
Institution, 10550 North Torrey Pines Road, La Jolla, CA 92037, USA

SOURCE: Institute, La Jolla, CA, 92037, USA
Journal of Molecular Biology (1994), 243(4),
736-53

CODEN: JMOBAK; ISSN: 0022-2836

PUBLISHER: Academic

DOCUMENT TYPE: Journal

LANGUAGE: English

English

AB The sources of the stability of a type VI turn formed with high population in the cis isomeric form of an unblocked six residue peptide, Ser1-Tyr2-Pro3-Tyr4-Val6 (SYPYDV), were investigated by making extensive amino acid substitutions at residues 2, 4 and 5. Several NMR parameters indicate the presence of the turn, including significant upfield shifts of the proton resonances of the cis proline, a small $3J_{HN\alpha}$ coupling constant for residue 2, a cross-turn $d\alpha N(i, i + 2)$ NOE from residue 2 to residue 4 and an increased mole fraction of the cis form in the conformational ensemble. By these criteria, a number of peptides were found to contain significant populations of type VI turn conformers in the cis form of the peptide. The NMR parameters are highly dependent on the sequence of the peptide, and are strongly correlated with each other and with the population of type VI turn. The greatest populations of turn conformations were observed for peptides of the general form AA-Ar-Pro-Ar-Hp, where AA represents any amino acid, Ar an aromatic residue and Hp a small hydrophilic residue. There is no evidence in the form of lowered amide proton temperature coeffs. for direct hydrogen bonding as a primary source of turn stability. Instead, the major stabilizing factor, indicated by the strong dependence of the turn population on the presence of aromatic (not hydrophobic) residues at positions 2 and 4, is the stacking of the aromatic and proline rings. A measurable preference for deprotonated **aspartate** at position 5, which is not part of the turn itself, and the destabilization of the turn at high and low pH, indicate that electrostatic interactions between the unblocked N terminus and the **aspartate** carboxyl group also act to stabilize the turn conformation when the Ar-Pro-Ar sequence is present. Implications for stabilization of local elements of secondary structure during the earliest events in protein folding are discussed.

IT 115627-78-6 160253-22-5 160387-13-3
160387-14-4 160387-15-5 160387-16-6
160387-17-7 160387-18-8 160387-19-9
160387-20-2 160387-21-3 160387-22-4

160387-23-5 160387-24-6 160387-25-7
160387-26-8 160387-27-9 160387-28-0
160387-29-1

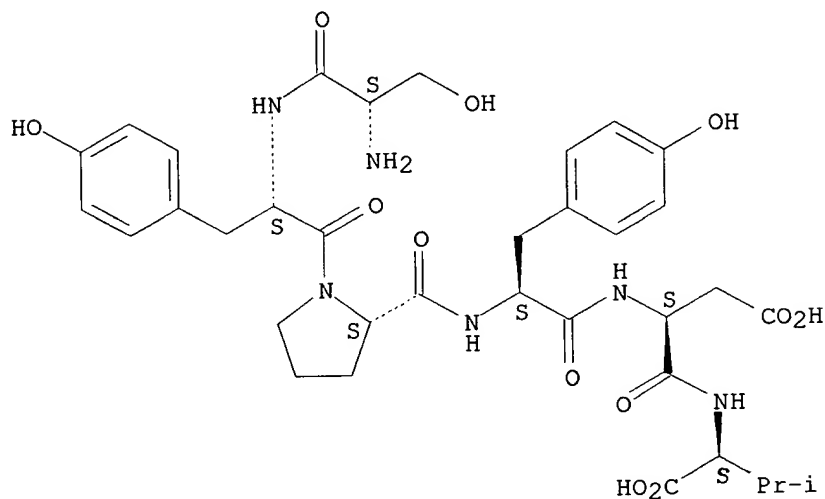
RL: PRP (Properties)

(stabilization of a type VI turn in a family of linear peptides in
water solution)

RN 115627-78-6 CAPLUS

CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-tyrosyl)-L-prolyl]-L-tyrosyl]-L- α -
aspartyl]- (9CI) (CA INDEX NAME)

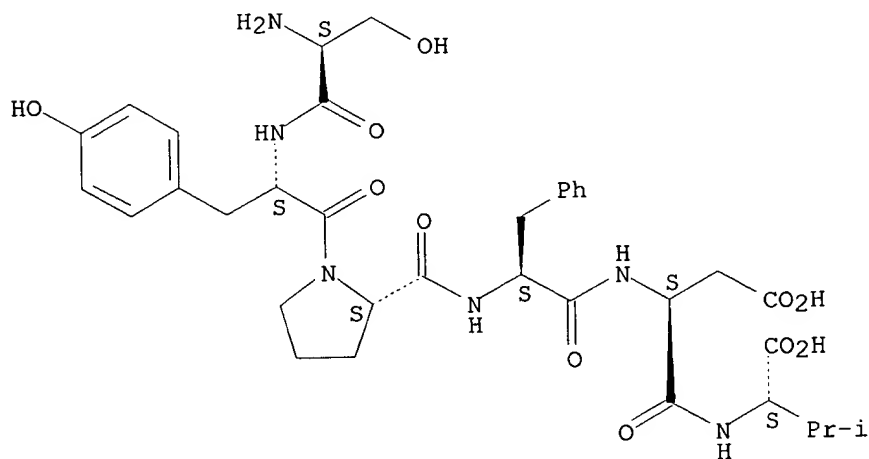
Absolute stereochemistry.



RN 160253-22-5 CAPLUS

CN L-Valine, L-seryl-L-tyrosyl-L-prolyl-L-phenylalanyl-L- α -aspartyl-
(9CI) (CA INDEX NAME)

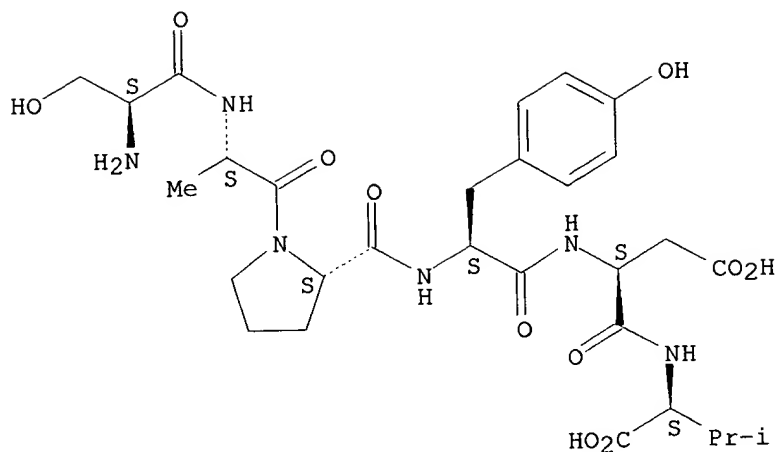
Absolute stereochemistry.



RN 160387-13-3 CAPLUS

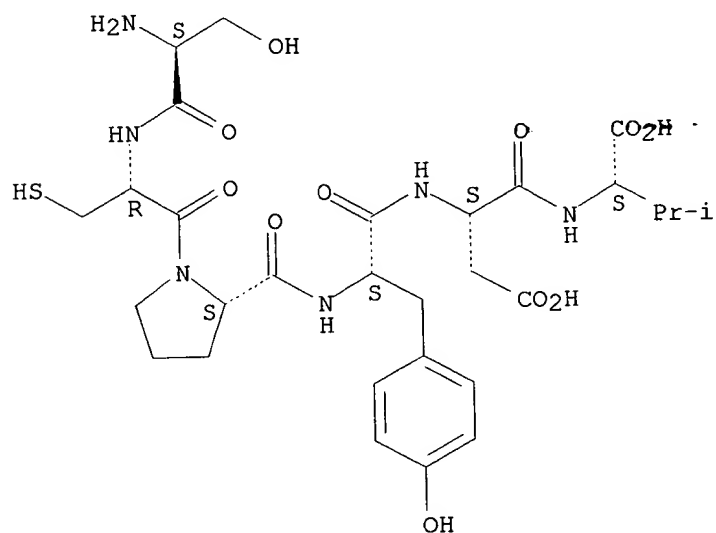
CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-alanyl)-L-prolyl]-L-tyrosyl]-L- α -
aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



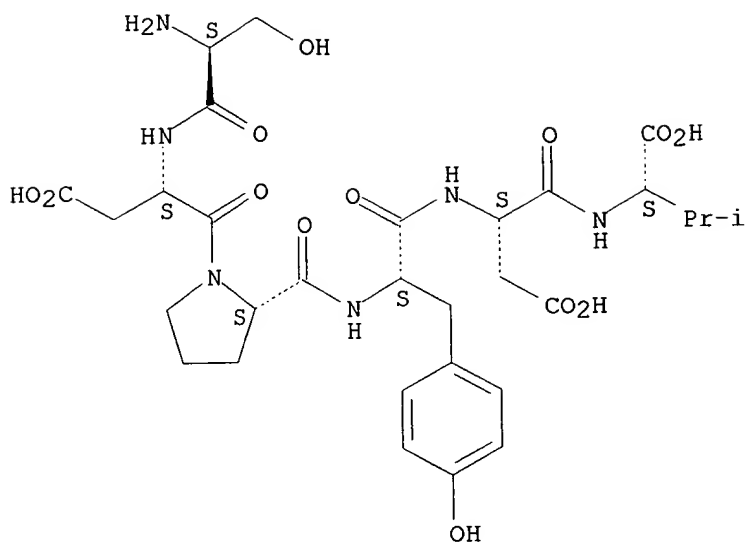
RN 160387-14-4 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-cysteinyl)-L-prolyl]-L-tyrosyl]-L-
 α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



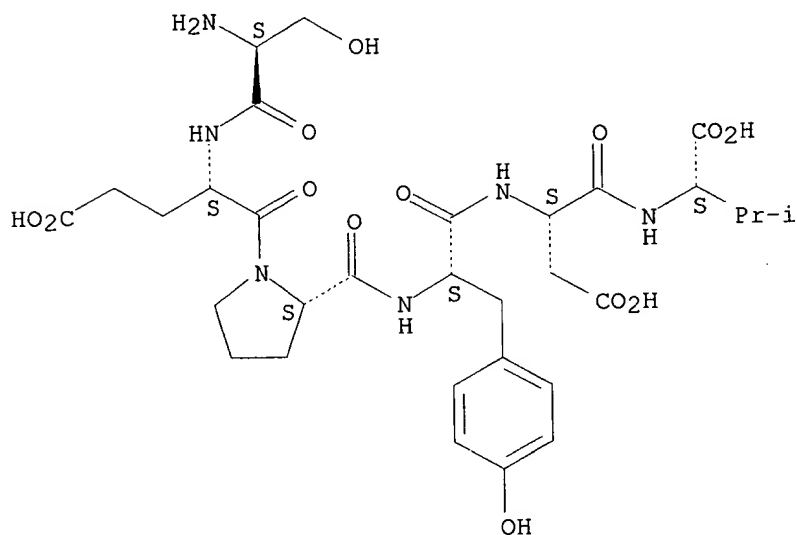
RN 160387-15-5 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-α-aspartyl)-L-prolyl]-L-tyrosyl]-L-
 α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



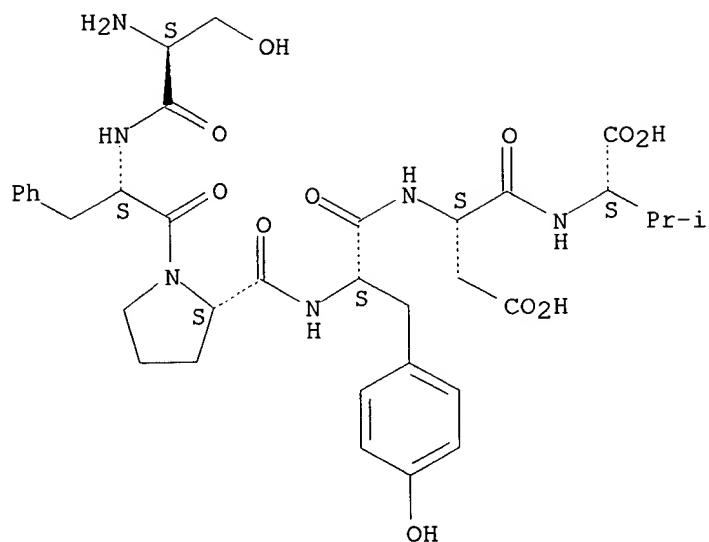
RN 160387-16-6 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-α-glutamyl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



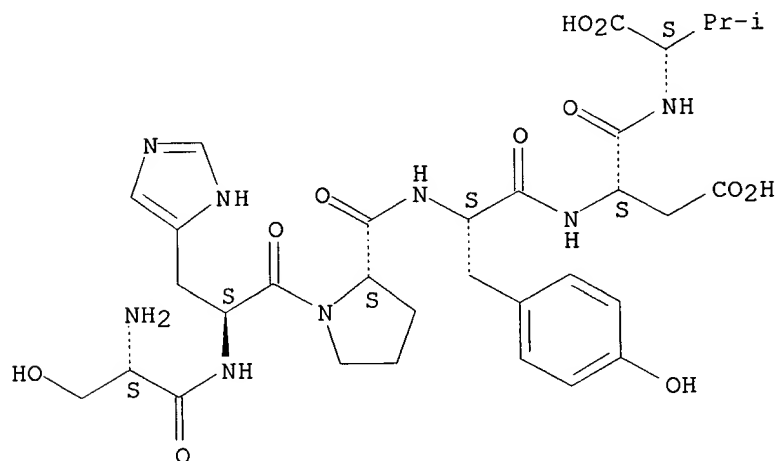
RN 160387-17-7 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-phenylalanyl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



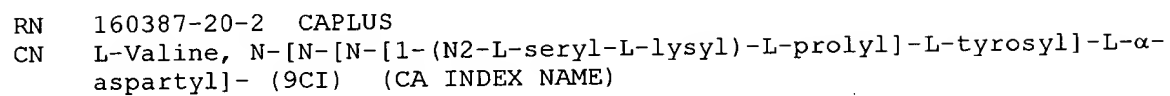
RN 160387-18-8 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-histidyl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



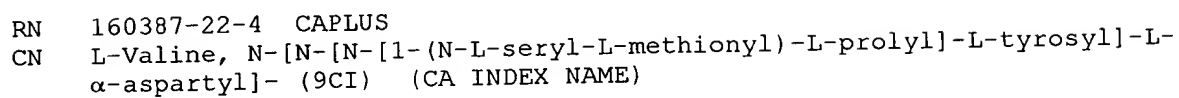
RN 160387-19-9 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-isoleucyl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N[C@@H](CS)C(=O)N[C@H](CS)C(=O)N1CCCC1S[C@@H](NC(=O)[C@H](CS)C(=O)N[C@@H](CS)C(=O)O)Cc1ccc(O)cc1

RN 160387-21-3 CAPLUS
CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-leucyl)-L-prolyl]-L-tyrosyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

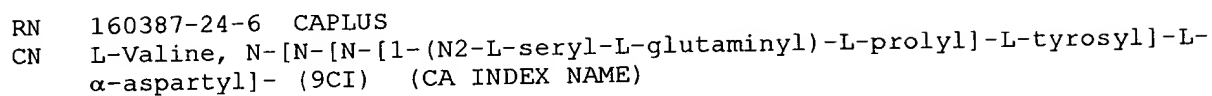
Absolute stereochemistry.



The chemical structure is a complex molecule featuring a thiazolidine ring. The thiazolidine ring is substituted with a thioether group (MeS-CH₂-CH₂-S-) and a carbonyl group (C=O). The carbonyl group is part of a larger chain that includes a thioether group (S-CH₂-CH₂-OH) and a hydroxybenzyl group (CH₂-C₆H₄-OH). The structure is drawn with stereochemical indicators, including a wedge bond for the thioether group and a dashed bond for the carbonyl group.

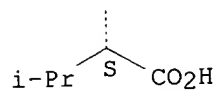
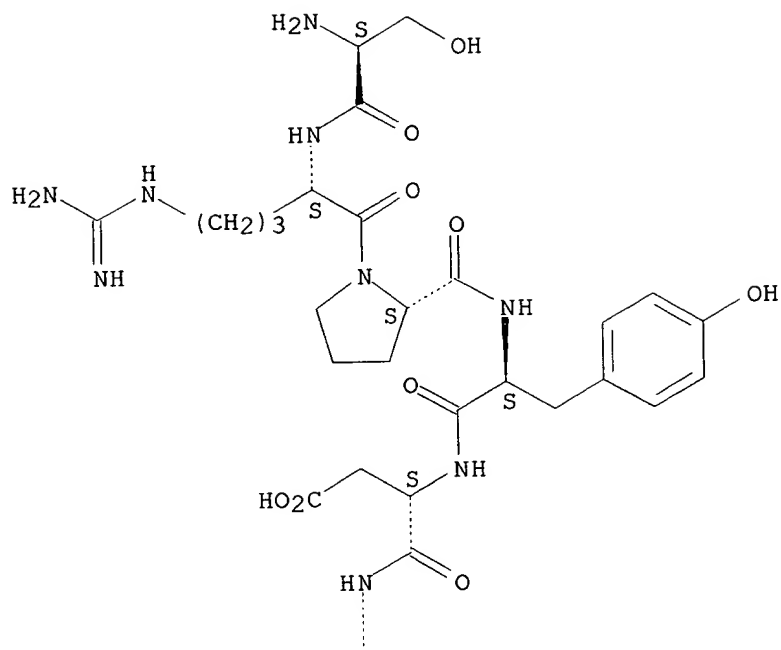
```
RN      160387-23-5  CAPLUS
CN      L-Valine, N-[N-[N-[1-(N2-L-seryl-L-asparaginyl)-L-prolyl]-L-tyrosyl]-L-
        α-aspartyl]- (9CI)  (CA INDEX NAME)
```

Absolute stereochemistry.

NC(=O)CCSC(=O)N1CCCC1SC(=O)NC(CO)SNC(=O)NC(C(=O)O)SC(=O)NC(C(=O)O)Cc1ccc(O)cc1

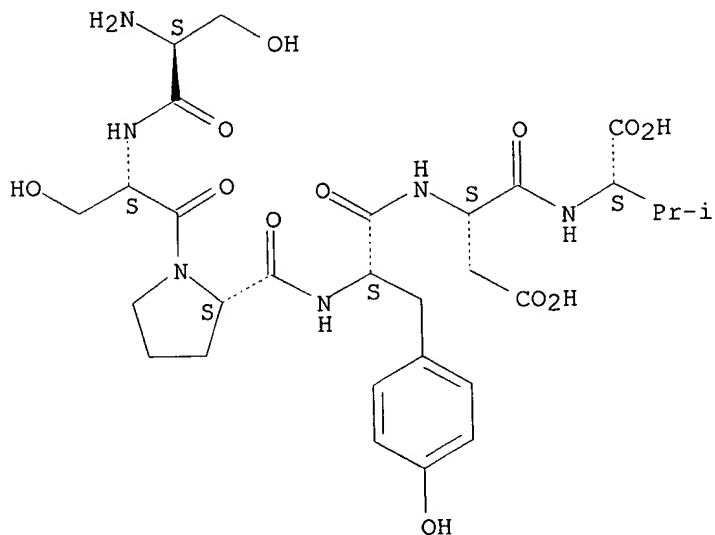
```
RN      160387-25-7  CAPLUS
CN      L-Valine, N-[N-[N-[1-(N2-L-seryl-L-arginy)]-L-prolyl]-L-tyrosyl]-L-α-
        aspartyl]- (9CI)  (CA INDEX NAME)
```

Absolute stereochemistry.



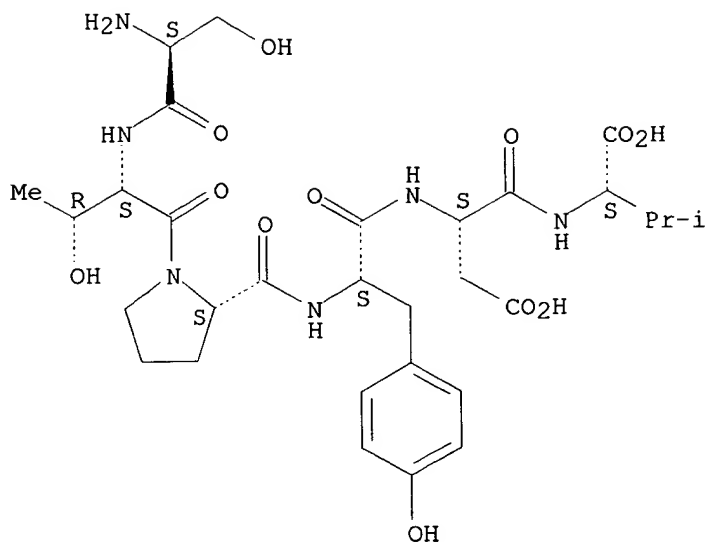
RN 160387-26-8 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-seryl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



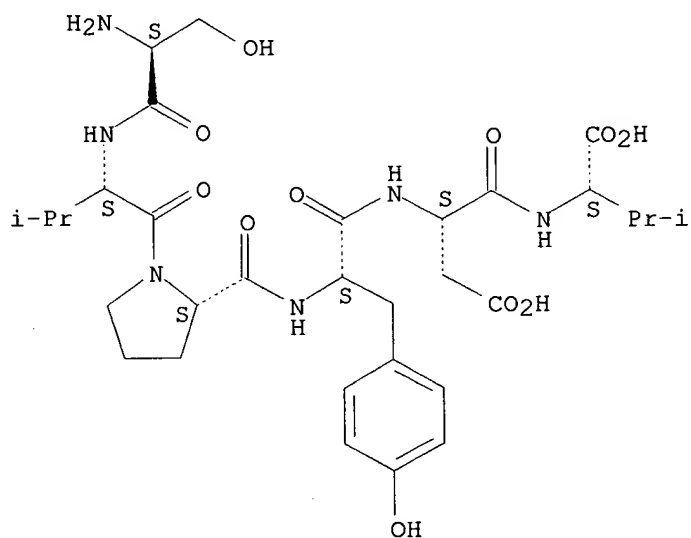
RN 160387-27-9 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-threonyl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



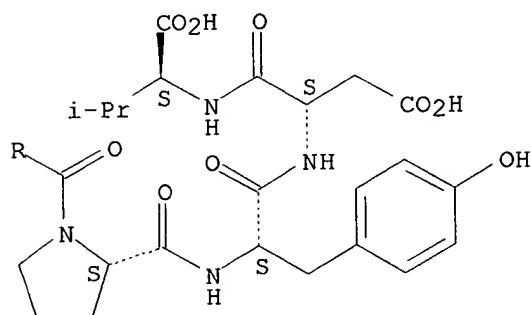
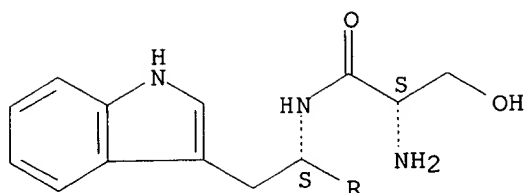
RN 160387-28-0 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-valyl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160387-29-1 CAPLUS
 CN L-Valine, N-[N-[N-[1-(N-L-seryl-L-tryptophyl)-L-prolyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:46693 CAPLUS

DOCUMENT NUMBER: 122:2582

TITLE: A minimal transcription activation domain consisting of a specific array of **aspartic** acid and leucine residues

AUTHOR(S): Seipel, Katja; Georgiev, Oleg; Schaffner, Walter

CORPORATE SOURCE: Inst. Molekularbiol. II, Univ. Zurich, Zurich, CH-8057, Switz.

SOURCE: Biological Chemistry Hoppe-Seyler (1994), 375(7), 463-70

CODEN: BCHSEI; ISSN: 0177-3593

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Transcriptional activation by the herpesvirus protein VP16 (= Vmw65, α TIF) is mediated by its C-terminal acidic activation domain. Using GAL4 fusion proteins, the authors have previously shown that a construct containing two tandem copies of a short eleven amino acid fragment derived from the VP16 domain (DALDDFDL, residues 437-447) activates transcription in mammalian cells with an efficiency comparable to a GAL4 fusion with the full VP16 activation domain (residues 413-490). Here the authors mutagenized this eleven amino acid core sequence and find that a mutant sequence with little inherent activity can cooperate with a wildtype sequence to yield almost full activity. Moreover, greater activity is observed when the wildtype sequence is positioned at the distal, rather than the proximal, end of the fusion protein, indicating that the distal position facilitates contacts to the transcription apparatus. The authors have also further reduced the eleven amino acid activating sequence to shorter sequence motifs. Two copies of eight and seven amino acids (DALDDFDL and DDFDL, resp.), or four copies of the sequences motif DDFDL are required to reach the activation potential of two eleven amino acid motifs. Four copies of the sequence DDLDL still activate transcription strongly (up to two-thirds of DDFDL), indicating that an aromatic residue is not an essential feature of this type of activation domain. However, repetitions of DDL or DL do not yield activity. Thus the minimal requirement for transcriptional activation is the presence of a sequence of some fifteen to twenty amino acids consisting of a specific array of **aspartic** acid and leucine residues. The motif DDLDL could be a prototypic activation module of the acidic/hydrophobic class of activation domains.

IT 159361-13-4 159361-16-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(amino acid sequence; arrays of **aspartic** acid and leucine

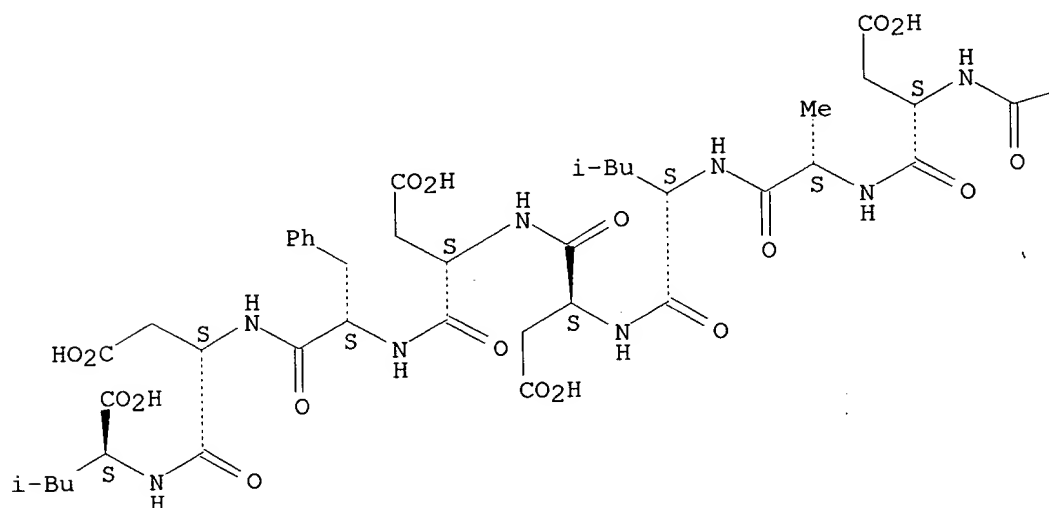
residues in minimal transcription activation domain of protein VP16)

RN 159361-13-4 CAPLUS

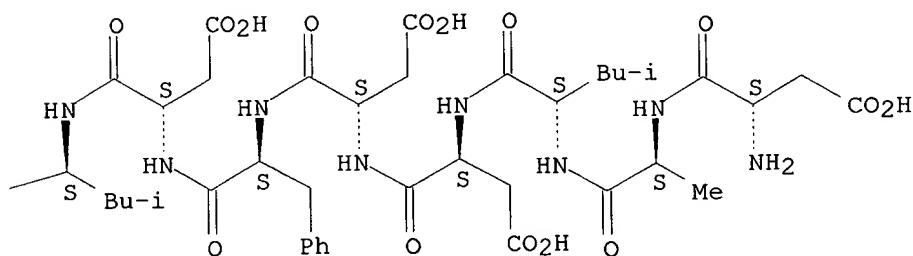
CN L-Leucine, L- α -aspartyl-L-alanyl-L-leucyl-L- α -aspartyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L-alanyl-L-leucyl-L- α -aspartyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



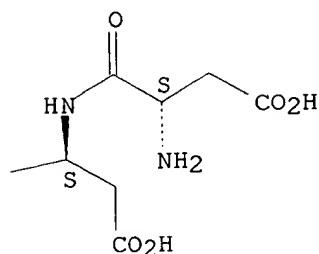
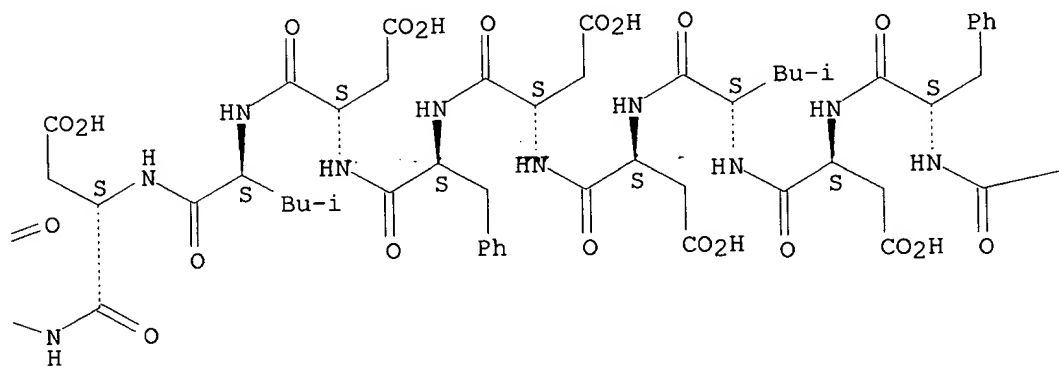
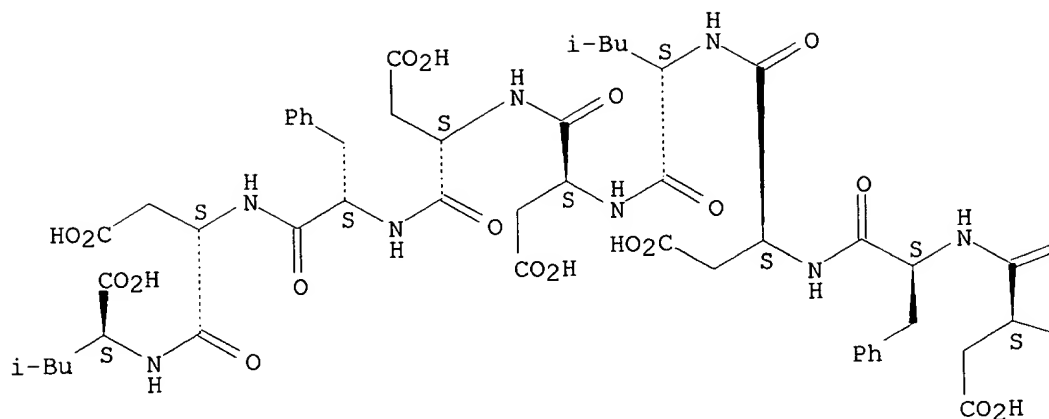
PAGE 1-B



RN 159361-16-7 CAPLUS

CN L-Leucine, L- α -aspartyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d 11-20 ibib abs hitstr

L9 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:528519 CAPLUS

DOCUMENT NUMBER: 121:128519

TITLE: Extracellular **Aspartic** Proteinases from
Candida albicans, Candida tropicalis, and Candida
parapsilosis Yeasts Differ Substantially in Their
Specificities

AUTHOR(S): Fusek, Martin; Smith, Elizabeth A.; Monod, Michael;
Dunn, Ben M.; Foundling, Stephen I.

CORPORATE SOURCE: Laboratory of Protein Crystallography, Oklahoma
Medical Research Foundation, Oklahoma City, OK, 73104,

SOURCE:

USA

Biochemistry (1994), 33(32), 9791-9

CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Extracellular **aspartic** proteinases have been implicated for some time as virulence factors associated with Candida opportunistic fungal infections. Present knowledge of the enzymic properties of these proteinases is rather limited. Information on their substrate specificity is important for understanding their roles in invasive Candida infections. The authors have isolated **aspartic** proteinases from each of the three Candida yeasts, Candida albicans, Candida tropicalis, and Candida parapsilosis, and investigated the specificities of these proteinases using a library of synthetic substrates and testing inhibition by pepstatin A. The specificities of these **aspartic** proteinases are different from those of major human proteinases, including gastric pepsins, renal renin, and cathepsin D. For the peptide substrate, Lys-Pro-Ala-Leu-Phe*Phe(p-NO₂)-Arg-Leu, the values of kcat/Km were 2.95 + 10⁶ M⁻¹s⁻¹ for cleavage by Candida albicans proteinase, 1.60 + 10⁶ M⁻¹s⁻¹ for cleavage by Candida tropicalis proteinase, and 0.59 + 10⁶ M⁻¹s⁻¹ for Candida parapsilosis proteinase. Substantial differences in specificity among the Candida yeast proteinases were identified. For example, Candida tropicalis shows large changes in the kcat/Km value depending on the acidobasic character of the residue occupying the P2 position (1.6 + 10⁶ M⁻¹s⁻¹ for Leu, 0.47 + 10⁶ M⁻¹s⁻¹ for Lys, and 0.05 + 10⁶ M⁻¹s⁻¹ for Asp at P2, resp.). Candida parapsilosis by comparison is tolerant of these substitutions at P2 and is highly restrictive at position P4. The comparison of sequences of these proteinases, taken together with the kinetic data, suggests the participation of as yet unidentified residues of **aspartic** proteinases in forming the specificity binding pockets.

IT 157079-15-7

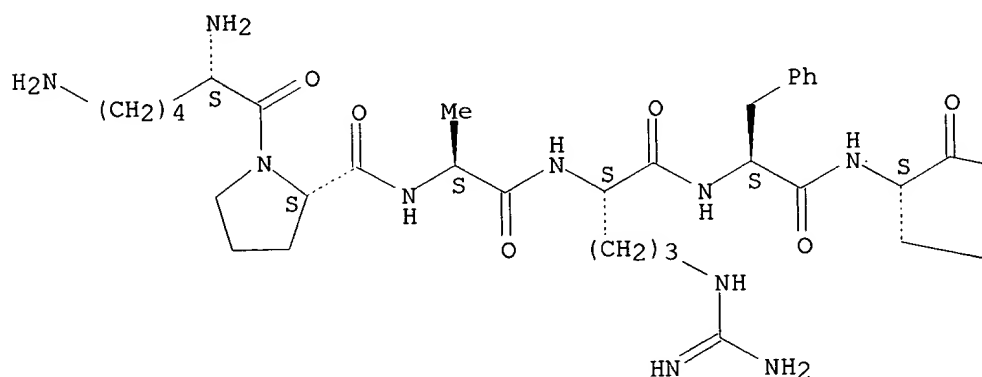
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with **aspartic** proteinases of Candida albicans
and C. tropicalis and C. parapsilosis, kinetics of)

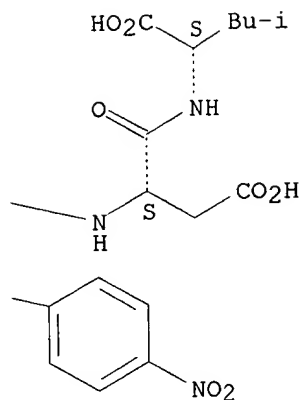
RN 157079-15-7 CAPLUS

CN L-Leucine, N-[N-[N-[N-[N2-[N-(1-L-lysyl-L-prolyl)-L-alanyl]-L-arginyl]-L-phenylalanyl]-4-nitro-L-phenylalanyl]-L-α-aspartyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L9 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:289639 CAPLUS

DOCUMENT NUMBER: 120:289639

TITLE: Arg-Gly-Asp-Ser peptide analogs suppress cartilage chondrolytic activities of integrin-binding and nonbinding fibronectin fragments

AUTHOR(S): Homandberg, Gene A.; Hui, Francis

CORPORATE SOURCE: Rush Med. Coll., Rush-Presbyterian-St. Luke's Med. Cent., Chicago, IL, 60612-3864, USA

SOURCE: Archives of Biochemistry and Biophysics (1994), 310(1), 40-8
CODEN: ABBIA4; ISSN: 0003-9861

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors have reported that Fn fragments (Fn-f), which have been detected in synovial fluids of osteoarthritis and rheumatoid arthritis patients, can potentially cause cartilage chondrolysis and depress proteoglycan (PG) synthesis in cartilage tissue cultured as explants. Amino-terminal 29-kDa, gelatin-binding 50-kDa, and integrin-binding 140-kDa Fn-f are active. In order to investigate the mode of action and devise means of blocking the damage mediated by all Fn-f, the authors have tested the effects of various analogs resembling the integrin binding sequence, Arg-Gly-Asp-Ser, on blocking Fn-f-mediated chondrolysis. The analog peptides, Gly-Arg-Ala-Asp-Ser-Pro-Lys and Arg-Phe-Asp-Ser, at concns. as low as 1 μ M, blocked the effects of all three Fn-f on cartilage degradation, while the native sequence peptide, Arg-Gly-Asp-Ser, had very low Fn-f-blocking activity and by itself caused cartilage damage. Random sequence peptides dissimilar to the analog sequences were inactive as inhibitors as well as was a sequence analog, Phe-Asp-Arg-Ser, related to the Arg-Phe-Asp-Ser inhibitor. The analog inhibitory peptides decreased rates of Fn-f-mediated PG degradation and release from cartilage and decreased Fn-f-mediated PG synthesis depression. The analog inhibitory peptides alone had no detectable effect on cartilage PG degradation or PG synthesis rates. These data show that the chondrolytic activities of integrin-binding and nonbinding Fn-f can be blocked by synthetic peptide analogs of the Arg-Gly-Asp-Ser sequence and suggest that these peptides may be useful for blocking other activities of Fn-f.

IT 102567-19-1, RFDS

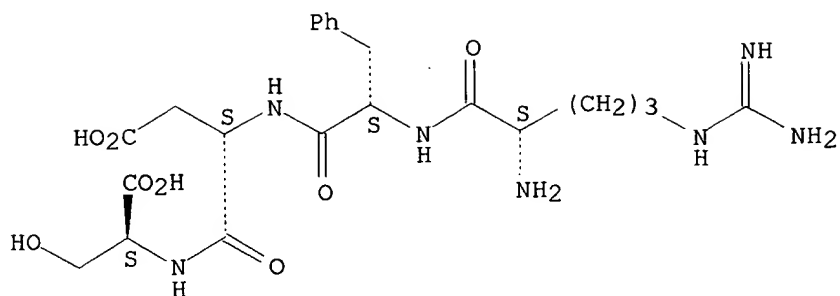
RL: BIOL (Biological study)

(fibronectin fragment-induced chondrolysis response to, rheumatoid arthritis in relation to)

RN 102567-19-1 CAPLUS

CN L-Serine, L-arginyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:671680 CAPLUS

DOCUMENT NUMBER: 119:271680

TITLE: Investigation of the structural parameters involved in the δ -opioid selectivity of several families of opioid peptides

AUTHOR(S): Guis, Christine; Bruetschy, Luce; Meudal, Herve; Roques, Bernard P.; Gacel, Gilles A.

CORPORATE SOURCE: Dep. Mol. Struct. Pharmacochem., Rene Descartes Univ., Paris, Fr.

SOURCE: International Journal of Peptide & Protein Research (1993), 41(6), 576-75
CODEN: IJPPC3; ISSN: 0367-8377

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Three series of highly δ -opioid selective peptides are now available, and each family is used as template to investigate the structural parameters involved in δ -receptor recognition and in the modulation of the selectivity of the parent peptide. The first series includes cyclic peptides such as I (Pen = penicillamine) and II; the second are synthetic linear constrained peptides Tyr-D-Ser(OtBu)-Gly-Phe-Leu-Thr (DSTBULET), Tyr-D-Ser(OtBu)-Gly-Phe-Leu-Thr(OtBu) (BUBU) and especially Tyr-D-Cys(StBu)-Gly-Phe-Leu-Thr(OtBu) (BUBUC); and the last one natural peptides Tyr-D-Met-Phe-His-Leu-Met-Asp-NH₂ (deltorphin or dermenkephalin) and Tyr-D-Ala-Phe-Asp-Val-Val-GlyNH₂ ([D-Ala²] deltorphin I)]. In the present study, the possibility of transposing some of the decisive factors of δ -selectivity evidenced in the two other families, to the linear constrained peptides series was examined. With this aim in view, residues such as Phe³, pClPhe⁴ or Asp were introduced in the sequence of DSTBULET, BUBU or BUBUC. Direct comparison between the biochem. profiles of the [pClPhe⁴] analogs of the linear constrained peptides and their parent compds. shows that the addition of an electroneg. atom on the Phe⁴ residue of enkephalin sequences is not an absolute parameter for δ -selectivity improvement. The hydrophobic δ -receptor subsite seems able to receive a range of mol. vols. and electronegativities. By contrast, this subsite cannot interact with a Phe³ aromatic ring introduced in this series of peptides. Moreover, the results obtained with linear peptides including addnl. neg. charged residues demonstrate that the proposed location of the δ -receptors in a cationic membrane environment is not adequate to explain the selectivity profile of a number of compds.

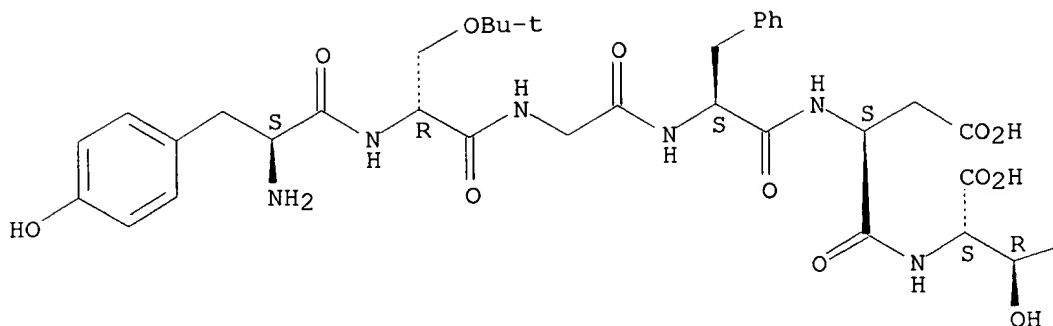
IT 151371-27-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and δ -opioid selectivity of)

RN 151371-27-6 CAPLUS

CN L-Threonine, N-[N-[N-[N-[O-(1,1-dimethylethyl)-N-L-tyrosyl-D-seryl]glycyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



— Me

L9 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:551631 CAPLUS

DOCUMENT NUMBER: 119:151631

TITLE: Inhibition of smooth muscle contraction and platelet aggregation by peptide 204-212 of lipocortin 5: An attempt to define some structure requirements

AUTHOR(S): Mugridge, K. G.; Becherucci, C.; Parente, L.; Perretti, M.

CORPORATE SOURCE: Inst. Ric. Immunobiol. Siena, Siena, 53100, Italy

SOURCE: Mediators of Inflammation (1993), 2(2), 103-7

CODEN: MNFLEF; ISSN: 0962-9351

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Peptide 204-212 of lipocortin (LC) 5 inhibited porcine pancreatic phospholipase A2 (PLA2) induced rat stomach strip contractions and ADP-induced rabbit platelet aggregation in a concentration-dependent manner (IC₅₀ of 10 μM and 400 μM, resp.). The first 2 amino acids are not necessary since the heptapeptide 206-212 was equipotent in both assays (IC₅₀ of 12.5 μM and 420 μM). Of the 2 pentapeptides 204-208 and 208-212 only the latter showed inhibitory activity in both models although the potency was much reduced (IC₅₀ of 170 μM and 630 μM) compared with that of the parent nonapeptide. Comparison of peptide 204-212 effects with those of its analogs on LC1 and LC2 indicate that lysine 208 and **aspartic** acid 211 are essential in order to maintain a fully active nonapeptide.

IT 137052-79-0 149997-83-1 149997-84-2
149997-87-5

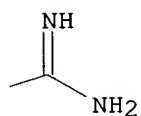
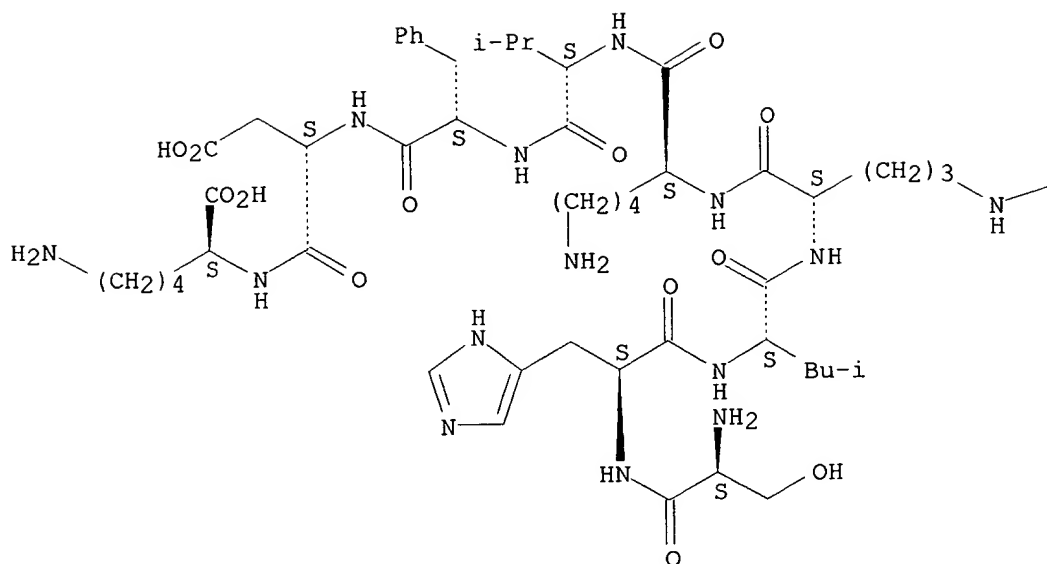
RL: BIOL (Biological study)

(muscle contraction and platelet aggregation inhibition by, structure in relation to)

RN 137052-79-0 CAPLUS

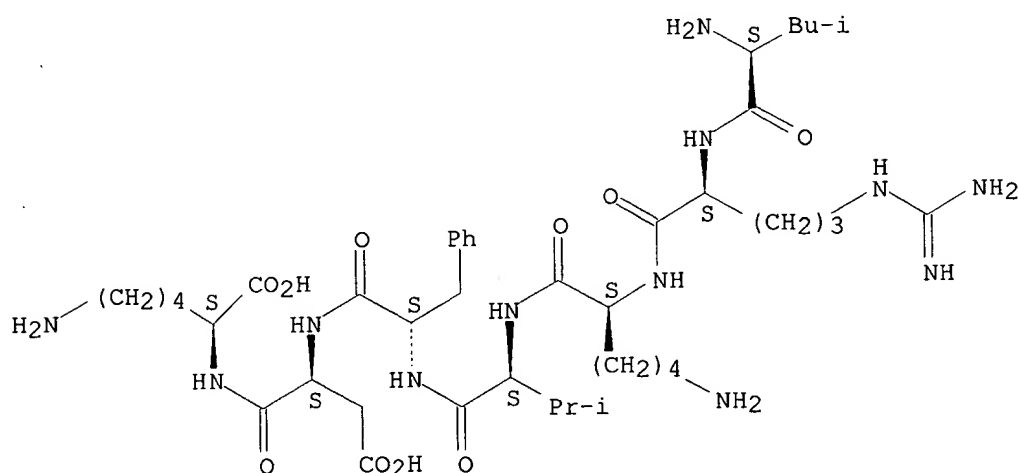
CN L-Lysine, L-seryl-L-histidyl-L-leucyl-L-arginyl-L-lysyl-L-valyl-L-phenylalanyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



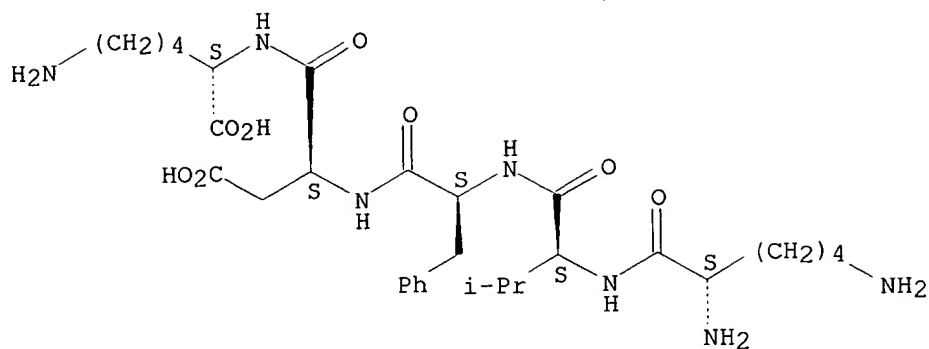
RN 149997-83-1 CAPLUS
 CN L-Lysine, N2-[N-[N-[N2-(N2-L-leucyl-L-arginyl)-L-lysyl]-L-valyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149997-84-2 CAPLUS
 CN L-Lysine, N2-[N-[N-(N-L-lysyl-L-valyl)-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

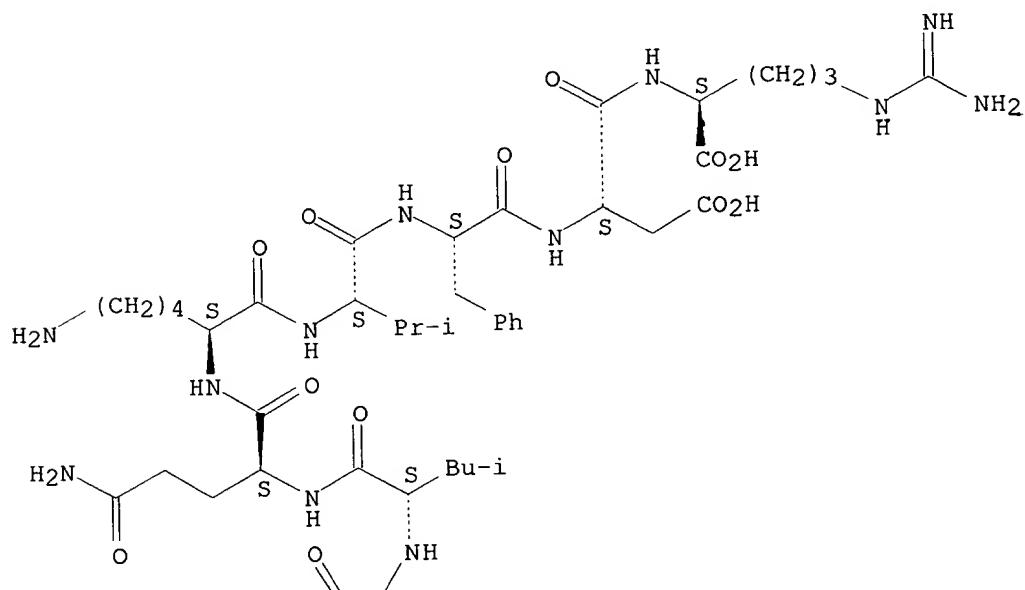
Absolute stereochemistry.



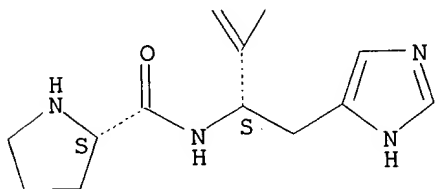
RN 149997-87-5 CAPLUS
 CN L-Arginine, N2-[N-[N-[N-[N2-[N2-[N-(N-L-prolyl-L-histidyl)-L-leucyl]-L-glutaminy]-L-lysyl]-L-valyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L9 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:496193 CAPLUS
 DOCUMENT NUMBER: 119:96193
 TITLE: Preparation of endothelin-binding peptides as drugs
 and diagnostic agents and for preparation of
 biosubstance

INVENTOR(S): Hayashi, Takashi; Watanabe, Hiroo; Izutsu, Hiroshi;
 Odakawa, Yasuhisa; Baba, Kenzo
 PATENT ASSIGNEE(S): Hitachi Chemical Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokyo Koho, 7 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05070487	A2	19930323	JP 1991-232994	19910912 <--
			JP 1991-232994	19910912

PRIORITY APPLN. INFO.:

AB An endothelin-binding peptide, selected from peptides containing at least continuous 4-amino acid sequences specified in Lys-Thr-Val-Tyr-Asp-Glu and Glu-Asp-Tyr-Val-Thr-Lys, is used as a drug and a diagnostic agent and for preparation of a biosubstance. One or both of the N and C termini of the peptide is/are optionally blocked or protected. The peptide is a fragment of endothelin receptor protein, shows specific reactivity and binding capability to endothelin, and is useful as an endothelin inhibitor, clin. diagnostic agent, for modifying the physiol. activity of endothelin, and for determination of endothelin. Thus, H-Lys-Thr-Val-Tyr-Asp-Glu-OH was prepared by 9-fluorenylmethoxycarbonyl (Fmoc)-polyamide solid-phase synthesis on a Fmoc-Glu(OCMe₃)-bound Pep Syn KA resin (MilliGen Corp.) using a peptide synthesizer Model 9050 (MilliGen Corp.) and Fmoc-protected amino acid dihydroxybenzotriazine or pentafluorophenyl esters. Also prepared was Ac-Lys-Thr-Val-Tyr-Asp-Glu-β-Ala-bound hexamethylenediamine resin for studying human endothelin 1 binding.

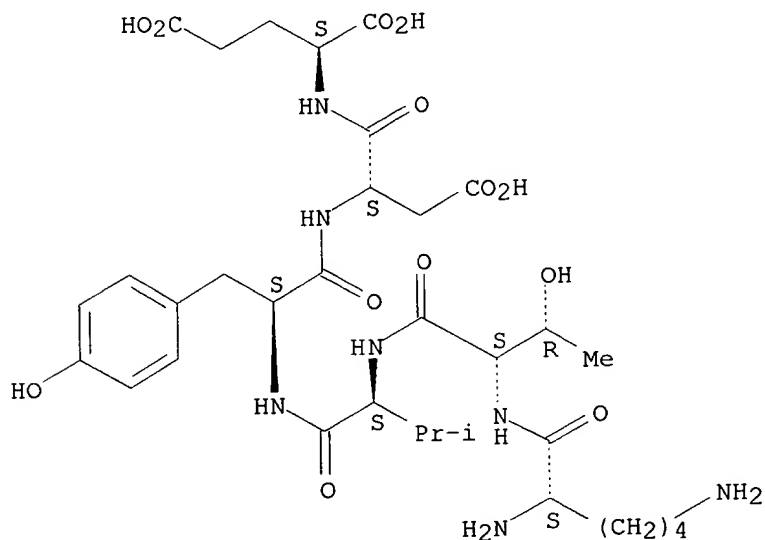
IT 149302-85-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as endothelin inhibitor and diagnostic agent and for endothelin determination)

RN 149302-85-2 CAPLUS

CN L-Glutamic acid, N-[N-[N-[N-(N-L-lysyl-L-threonyl)-L-valyl]-L-tyrosyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:441319 CAPLUS

DOCUMENT NUMBER: 119:41319

TITLE: Inhibitory effects of the neurotensin8-13 analogs
 Asp13-NT8-13 and Asp12-NT8-13 on mast cell secretion

AUTHOR(S): Miller, L. A.; Cochrane, D. E.; Carraway, R. E.;

CORPORATE SOURCE: Feldberg, R. S.
SOURCE: Tufts Univ., Medford, MA, 02155, USA
Agents and Actions (1993), 38(1-2), 1-7
CODEN: AGACBH; ISSN: 0065-4299

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Pretreatment of isolated mast cells with analogs of neurotensin 8-13 (NT8-13), in which the amino acids Leu13 or Ile12 are replaced with an **aspartic** acid (Asp13-NT8-13 or Asp12-NT8-13), inhibited the secretion of histamine in response to neurotensin (NT). A 10-min pretreatment with either analog (10 μ M) inhibited NT-induced histamine release by 90% (Asp13-NT8-13) or by 98% (Asp12-NT8-13). At concns. that are inhibitory, Asp13-NT8-13 and Asp12-NT8-13 alone elicited very little release (<5% at 10 μ M). In the continued presence of the analogs, the inhibitory effect lasted for >45 min; removal of the analogs resulted in restoration of sensitivity to NT within 10 min. Pretreatment with analog Asp13-NT8-13 resulted in a 39% inhibition of stimulation by substance P and a 52% inhibition of stimulation by histamine-releasing peptide (HRP). In contrast, pretreatment with analog Asp12-NT8-13 gave no inhibition of release by SP or HRP. Neither analog inhibited histamine release in response to bradykinin, NT1-12, compound 48/80, the calcium ionophore A 23187, or anti-IgE stimulation of passively sensitized mast cells. Although Asp12-NT8-13 and Asp13-NT8-13 differed slightly in regard to the peptides they inhibit, both probably act at a step early in the stimulus-secretion coupling sequence; most likely before the rise in the level of free intracellular Ca that has been shown to accompany secretion in mast cells. These analogs probably exert their inhibitory effect on NT by competing with NT for a binding site on the mast cell membrane. The limited number of peptides inhibited by these analogs suggest that not all basic peptides act at the same site to stimulate secretion.

IT 148716-88-5

RL: BIOL (Biological study)

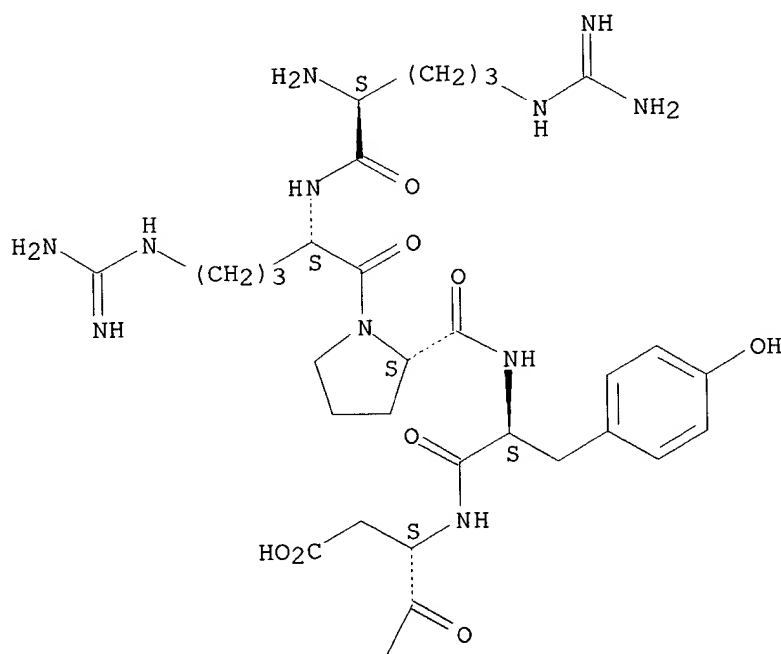
(histamine secretion by mast cells inhibition by)

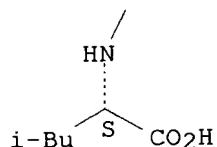
RN 148716-88-5 CAPLUS

CN Kinetensin (human), 1-de-L-isoleucine-2-de-L-alanine-5-de-L-histidine-8-L-aspartic acid- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

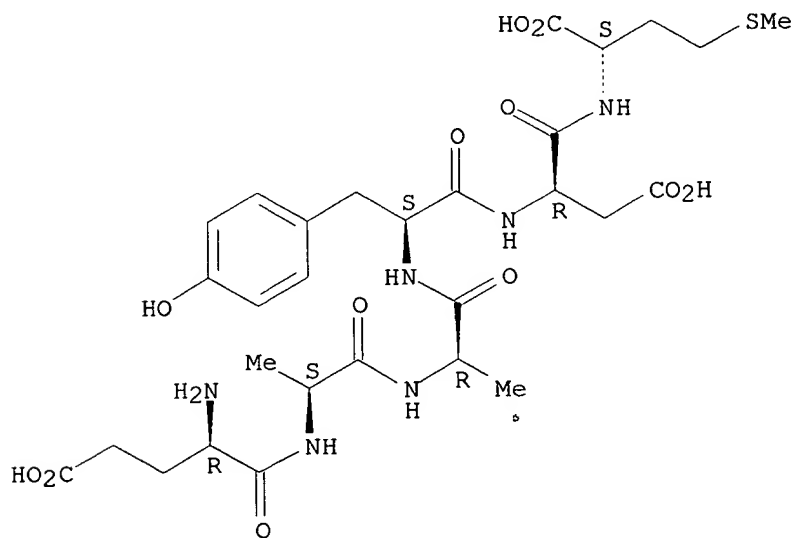
PAGE 1-A





L9 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:162825 CAPLUS
 DOCUMENT NUMBER: 118:162825
 TITLE: Characterization of heptapeptide toxins extracted from *Microcystis aeruginosa* (Egyptian isolate). Comparison with some synthesized analogs
 AUTHOR(S): Abdel-Rahman, S.; El-Ayouty, Y. M.; Kamael, H. A.
 CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Egypt
 SOURCE: International Journal of Peptide & Protein Research (1993), 41(1), 1-7
 CODEN: IJPPC3; ISSN: 0367-8377
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Four toxic peptides from local fresh water cyanobacterium *M. aeruginosa* were purified and identified by HPLC and ion-spray mass spectroscopic studies as: RR; YR; LR and LA with mol. wts. of 1006.8, 1073, 984.8 and 910.6, resp. Amino acid anal. indicated the presence of equimolar amts. of **aspartic** acid, glutamic acid, arginine, leucine and tyrosine, in addition to both alanine and dehydroalanine. Mouse assay toxicity indicated that the first two peptides, at the peak area of RR, YR, were highly toxic with LD50s of 20 and 18.2 µg/kg; however, the latter two, at the peak areas LR and LA, have a lesser toxicity with LD50s of 36 and 40 µg/kg, resp. Three linear peptide analogs to those naturally found devoid of Adda were synthesized using the continuous flow technique. HPLC pure synthesized analog products were tested for toxicity using male mice (i.p. injection). None of them induced toxic activity.
 IT **146788-24-1P**
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and toxicity of)
 RN 146788-24-1 CAPLUS
 CN L-Methionine, N-[N-[N-[N-(N-D-α-glutamyl-L-alanyl)-D-alanyl]-L-tyrosyl]-D-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:161057 CAPLUS
 DOCUMENT NUMBER: 118:161057
 TITLE: L-phenylalanyl-L-**aspartyl**-L-lysine as
 angiotensin I-converting enzyme inhibitor for
 therapeutic use
 INVENTOR(S): Yuasa, Yojiro; Somoto, Akishige
 PATENT ASSIGNEE(S): Calpis Food Industry Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04282398	A2	19921007	JP 1991-46430	19910312 <--
JP 3149199	B2	20010326		

PRIORITY APPLN. INFO.: JP 1991-46430 19910312

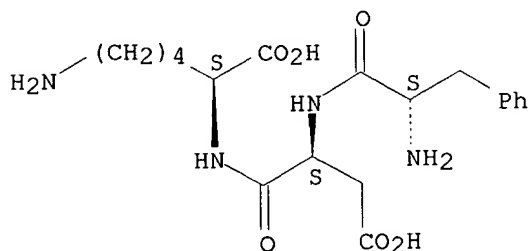
AB The title tripeptide (I), as angiotensin I-converting enzyme inhibitor, is prepared Thus, CaCl₂ was added to an. aqueous solution of 100 g cheese whey powder (pH 8.0), the solution was treated with trypsin at 37° for 24 h, the digested solution was treated with HCl and centrifuged, and the supernatant was further treated with EtOH to precipitate The supernatant was concentrated, diluted with H₂O, purified on Sephadex LH-20 and Sephadex G-10, and subjected to HPLC to give I. Spontaneously hypertensive rats were force-fed with a I-containing diet at 100 mg/kg I. Maximum blood pressure 6 h after the feeding was 205.1 mmHg, vs. 227 mmHg for untreated controls.

IT **90236-06-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as angiotensin I-converting enzyme inhibitor)

RN 90236-06-9 CAPLUS

CN L-Lysine, L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1993:125076 CAPLUS
 DOCUMENT NUMBER: 118:125076
 TITLE: Preparation of peptide derivatives and their
 application as antitumor agents
 INVENTOR(S): Kitaguchi, Hiroshi; Komazawa, Hiroyuki; Kojima,
 Masayoshi; Mori, Hideto; Nishikawa, Naoyuki; Satoh,
 Hideaki; Orikasa, Atsushi; Ono, Mitsunori; Azuma,
 Ichiro; Saiki, Ikuo
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 69 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

EP 503301	A2	19920916	EP 1992-102442	19920213 <--
EP 503301	A3	19930616		
EP 503301	B1	19971126		
R: DE, GB				
JP 05186499	A2	19930727	JP 1992-22799	19920207 <--
JP 2745351	B2	19980428		
EP 619118	A1	19941012	EP 1994-101494	19920213 <--
EP 619118	B1	19970611		
R: DE, GB				
US 5436221	A	19950725	US 1992-834848	19920213 <--
PRIORITY APPLN. INFO.:			JP 1991-40860	A 19910214
			JP 1991-297482	A 19911113
			JP 1992-22799	A 19920207
			EP 1992-102442	A3 19920213

OTHER SOURCE(S): MARPAT 118:125076

AB Fibronectin cell adhesion peptide fragments H-Z-D- or -L-Arg-X-Asp-Y-OH (X = L- or D-Leu, D-Ile, L- or D-Nle, L- or D-Phe, D-phenylglycine, D-Ala; Z, Y = independently bond, amino acid residue, or peptide residue, composed of Gly, Ser, Thr, L- or D-Asp, Ala, D-Glu, Pro), derivs., pharmaceutically acceptable salts, and pharmaceutical compns. comprising them were prepared as agents for inhibiting tumor metastasis.

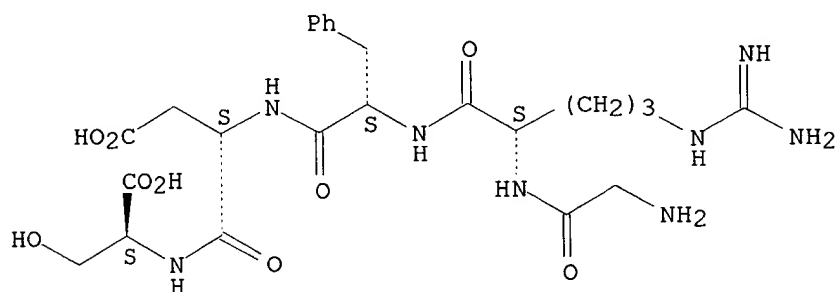
IT **145881-92-1 145881-93-2**

RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with chitin derivs.)

RN 145881-92-1 CAPLUS

CN L-Serine, glycyl-L-arginyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

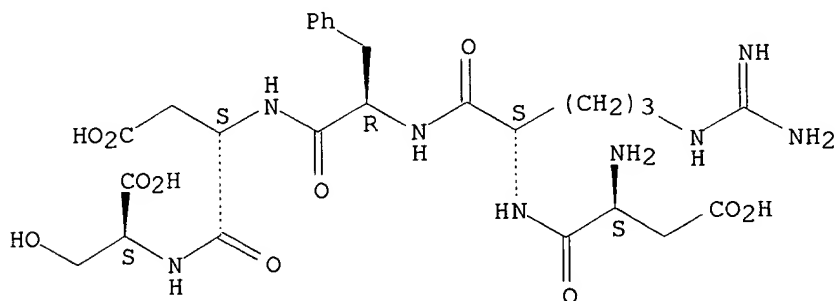
Absolute stereochemistry.



RN 145881-93-2 CAPLUS

CN L-Serine, N-[N-[N-(N2-L- α -aspartyl-L-arginyl)-D-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **145880-99-5**

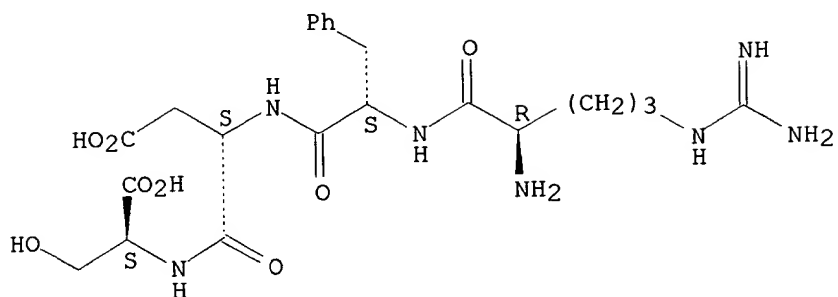
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with succinylated chondroitin sulfate)

RN 145880-99-5 CAPLUS

CN L-Serine, N-[N-(N-D-arginyl-L-phenylalanyl)-L- α -aspartyl]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.



IT 145880-86-0P

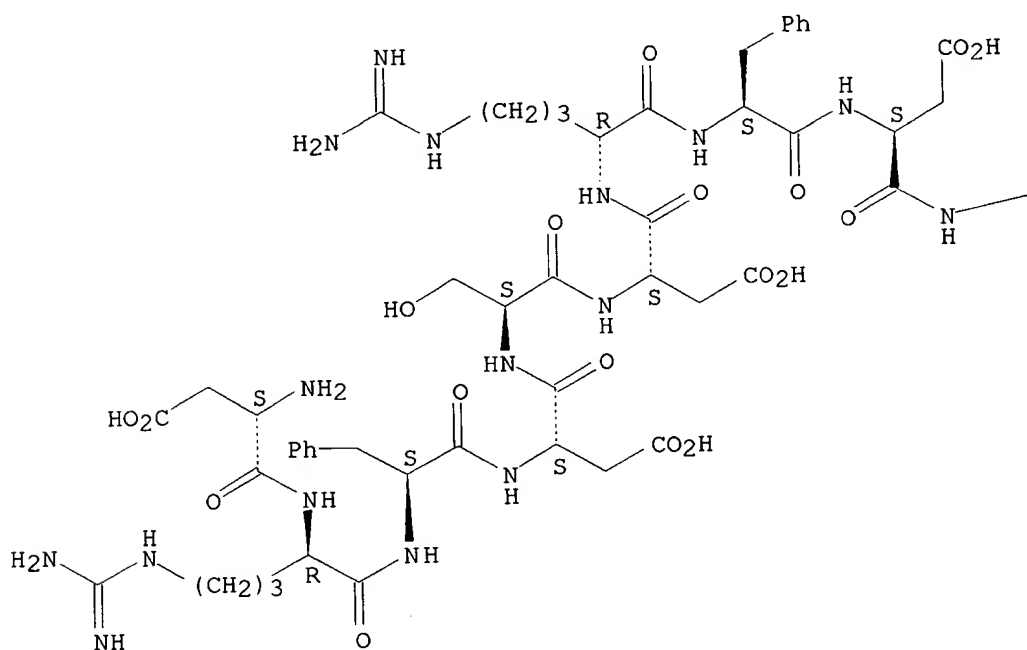
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, with succinylated polyallylamine)

RN 145880-86-0 CAPLUS

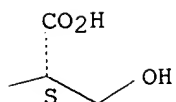
CN L-Serine, N-[N-[N-[N2-[N-[N-[N-[N-(N2-L-α-aspartyl-D-arginyl)-L-phenylalanyl]-L-α-aspartyl]-L-seryl]-L-α-aspartyl]-D-arginyl]-L-phenylalanyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

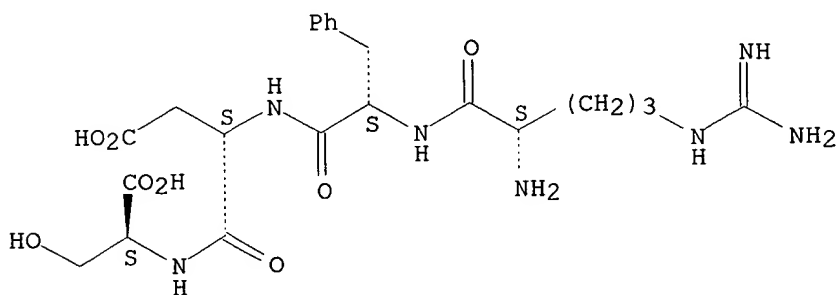


PAGE 1-B



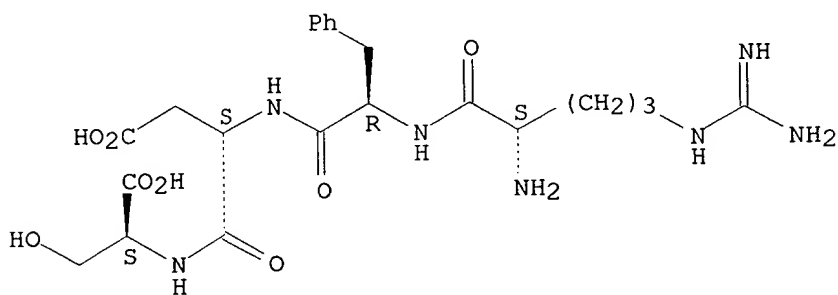
IT 102567-19-1P 145880-14-4P 145880-29-1P
 145880-61-1P 145880-76-8P 145880-85-9DP,
 ethers with sulfated oligo(acetylglucosamine) 145880-86-ODP,
 amides with succinylated polyallylamine 145880-99-5DP, amides
 with succinylated chondroitin sulfate 145881-07-8P
 145881-39-6P 145881-40-9P 145985-74-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)
 (preparation and antitumor activity of)
 RN 102567-19-1 CAPLUS
 CN L-Serine, L-arginyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



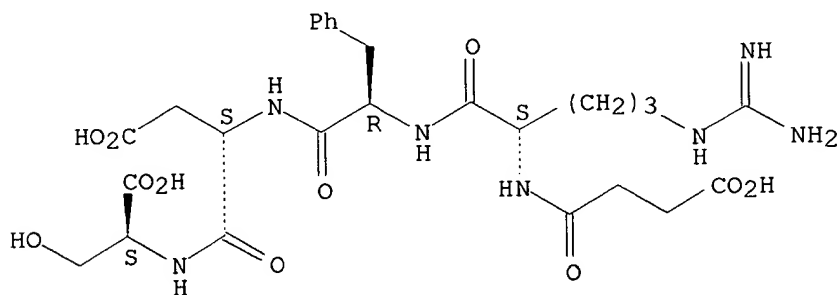
RN 145880-14-4 CAPLUS
 CN L-Serine, N-[N-(N-L-arginyl-D-phenylalanyl)-L- α -aspartyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



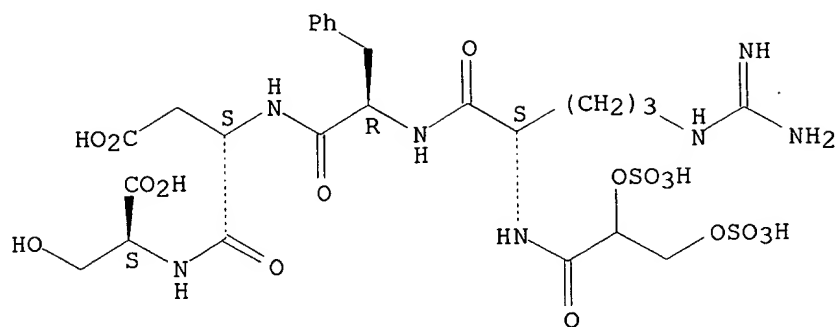
RN 145880-29-1 CAPLUS
 CN L-Serine, N-[N-[N-[N2-(3-carboxy-1-oxopropyl)-L-arginyl]-D-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145880-61-1 CAPLUS
 CN L-Serine, N-[N-[N-[N2-[1-oxo-2,3-bis(sulfooxy)propyl]-L-arginyl]-D-phenylalanyl]-L- α -aspartyl]-, disodium salt (9CI) (CA INDEX NAME)

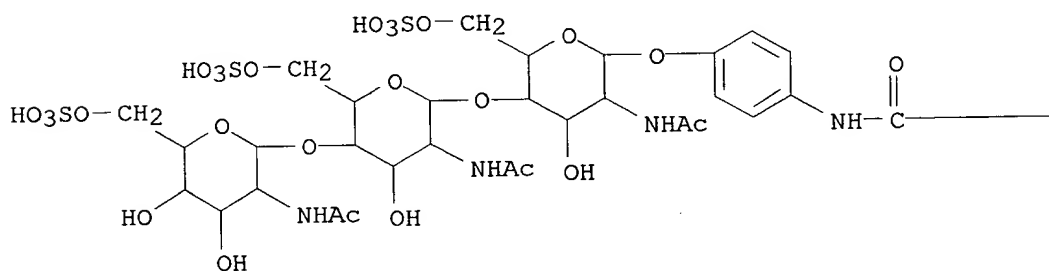
Absolute stereochemistry.



●2 Na

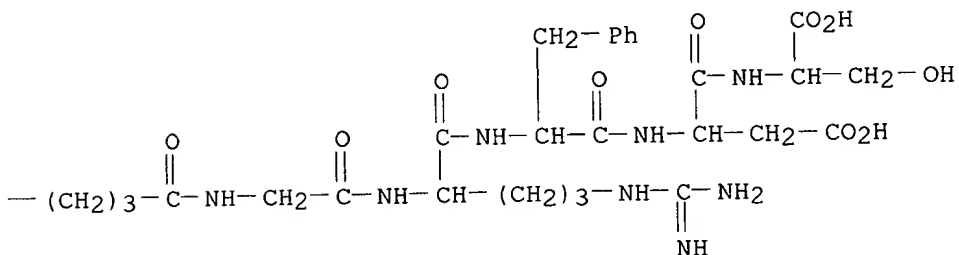
RN 145880-76-8 CAPLUS
 CN L-Serine, N-[N-[N-[N2-[N-[5-[[4-[[O-2-(acetylamino)-2-deoxy-6-O-sulfo-β-D-glucopyranosyl-(1→4)-O-2-(acetylamino)-2-deoxy-6-O-sulfo-β-D-glucopyranosyl-(1→4)-2-(acetylamino)-2-deoxy-6-O-sulfo-β-D-glucopyranosyl]oxy]phenyl]amino]-1,5-dioxopentyl]glycyl]-L-arginyl]-L-phenylalanyl]-L-α-aspartyl]-, trisodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



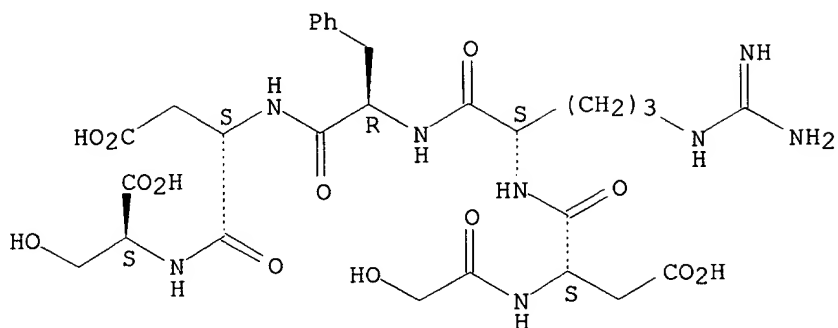
●3 Na

PAGE 1-B



RN 145880-85-9 CAPLUS
 CN L-Serine, N-[N-[N-[N2-[N-(hydroxyacetyl)-L-α-aspartyl]-L-arginyl]-D-phenylalanyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

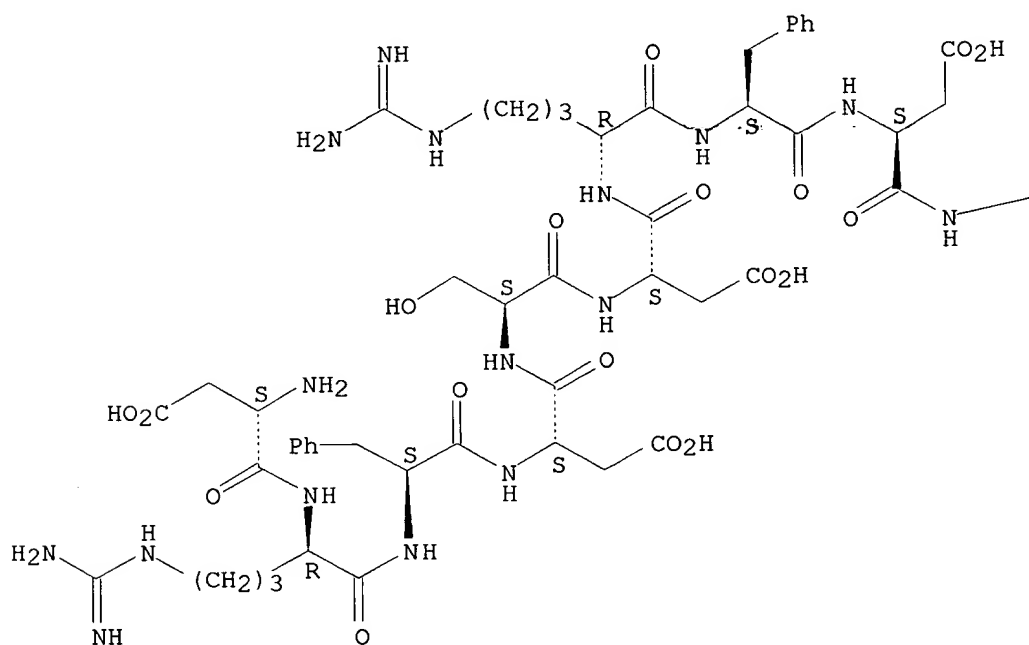


RN 145880-86-0 CAPLUS

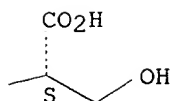
CN L-Serine, N-[N-[N-[N2-[N-[N-[N-[N-(N2-L- α -aspartyl-D-arginyl)]-L-phenylalanyl]-L- α -aspartyl]-L-seryl]-L- α -aspartyl]-D-arginyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



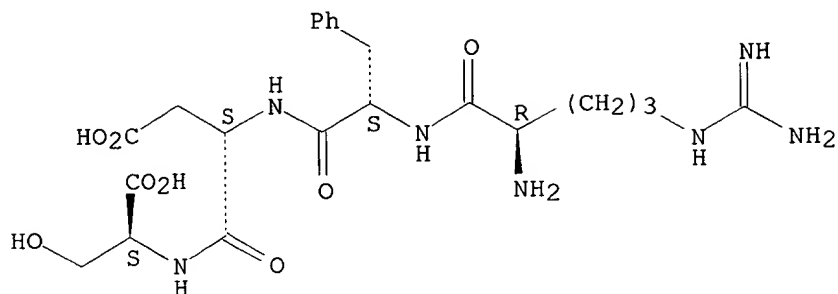
PAGE 1-B



RN 145880-99-5 CAPLUS

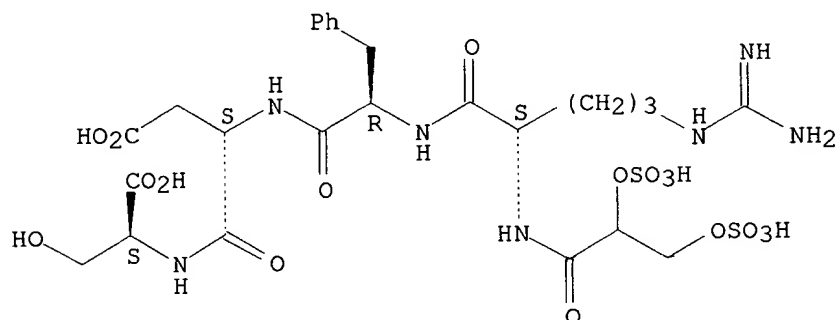
CN L-Serine, N-[N-(N-D-arginyl-L-phenylalanyl)-L- α -aspartyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 145881-07-8 CAPLUS
 CN L-Serine, N-[N-[N-[N2-[1-oxo-2,3-bis(sulfooxy)propyl]-L-arginyl]-D-phenylalanyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

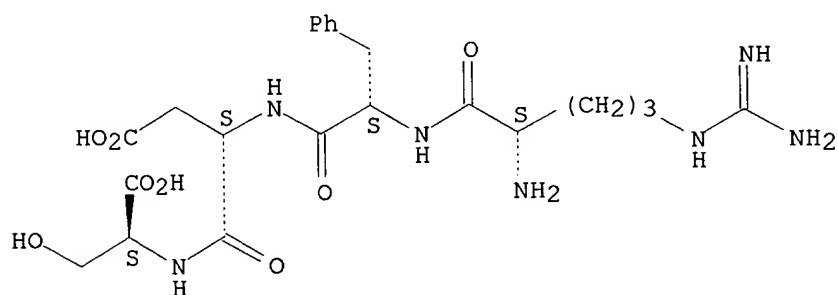


RN 145881-39-6 CAPLUS
 CN L-Serine, N-[N-(N-L-arginyl-L-phenylalanyl)-L-α-aspartyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

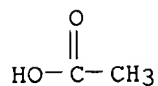
CRN 102567-19-1
 CMF C22 H33 N7 O8

Absolute stereochemistry.



CM 2

CRN 64-19-7
 CMF C2 H4 O2

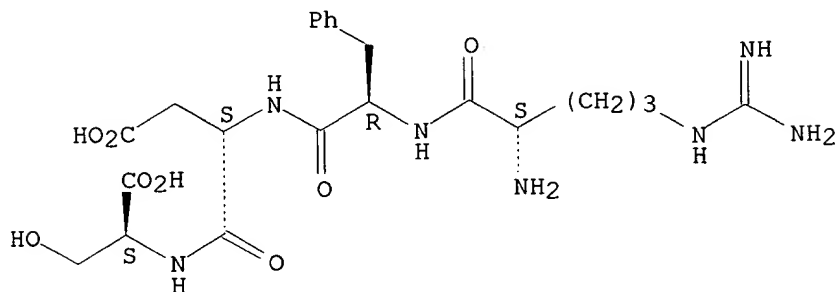


RN 145881-40-9 CAPLUS
 CN L-Serine, N-[N-(N-L-arginyl-D-phenylalanyl)-L- α -aspartyl]-,
 monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

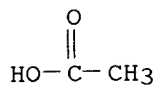
CRN 145880-14-4
 CMF C22 H33 N7 O8

Absolute stereochemistry.



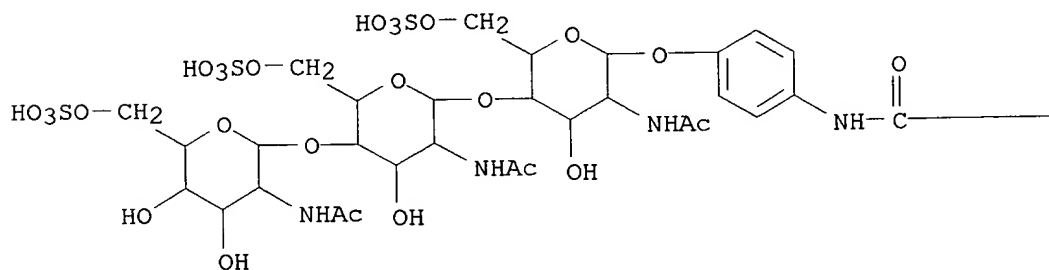
CM 2

CRN 64-19-7
 CMF C2 H4 O2

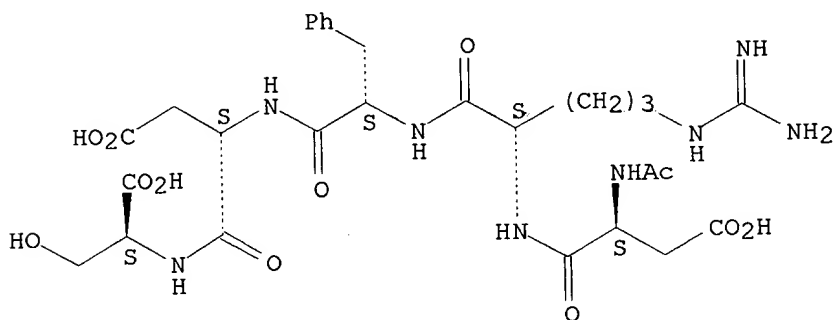


RN 145985-74-6 CAPLUS
 CN L-Serine, N-[N-[N-[N2-[N-[5-[[4-[[O-2-(acetylamino)-2-deoxy-6-O-sulfo- β -D-glucopyranosyl-(1 \rightarrow 4)-O-2-(acetylamino)-2-deoxy-6-O-sulfo- β -D-glucopyranosyl-(1 \rightarrow 4)-2-(acetylamino)-2-deoxy-6-O-sulfo- β -D-glucopyranosyl]oxy]phenyl]amino]-1,5-dioxopentyl]glycyl]-L-arginyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

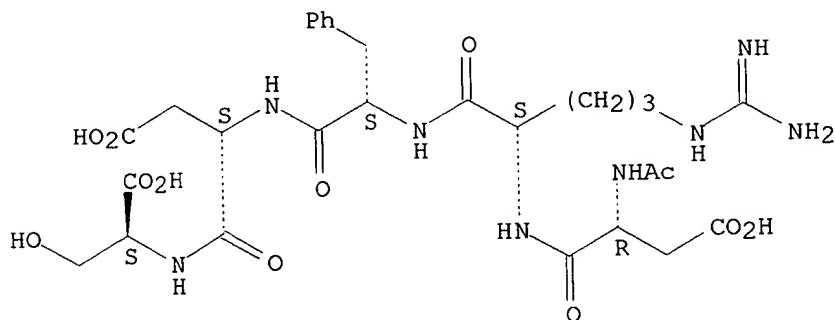
PAGE 1-A



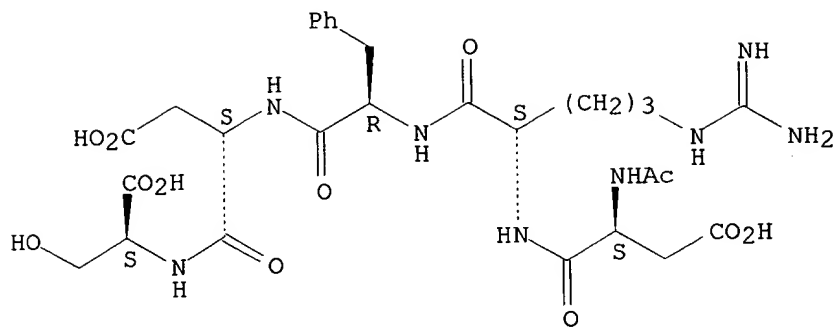
Absolute stereochemistry.



Absolute stereochemistry.

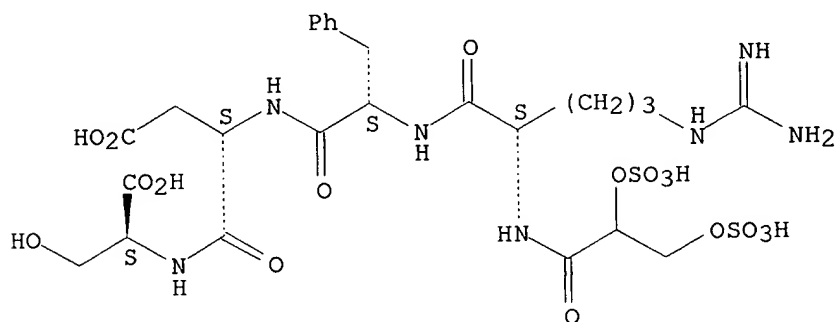


Absolute stereochemistry.



RN 145880-56-4 CAPLUS
 CN L-Serine, N-[N-[N-[N2-[1-oxo-2,3-bis(sulfooxy)propyl]-L-arginyl]-L-phenylalanyl]-L-α-aspartyl]-, disodium salt (9CI) (CA INDEX NAME)

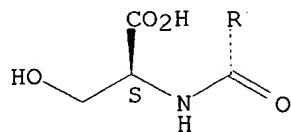
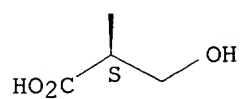
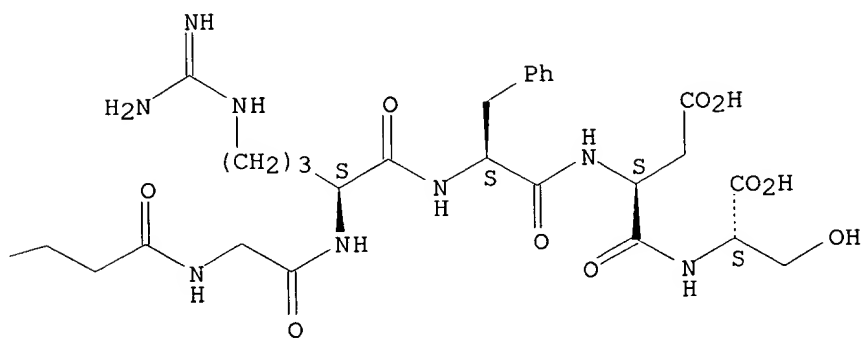
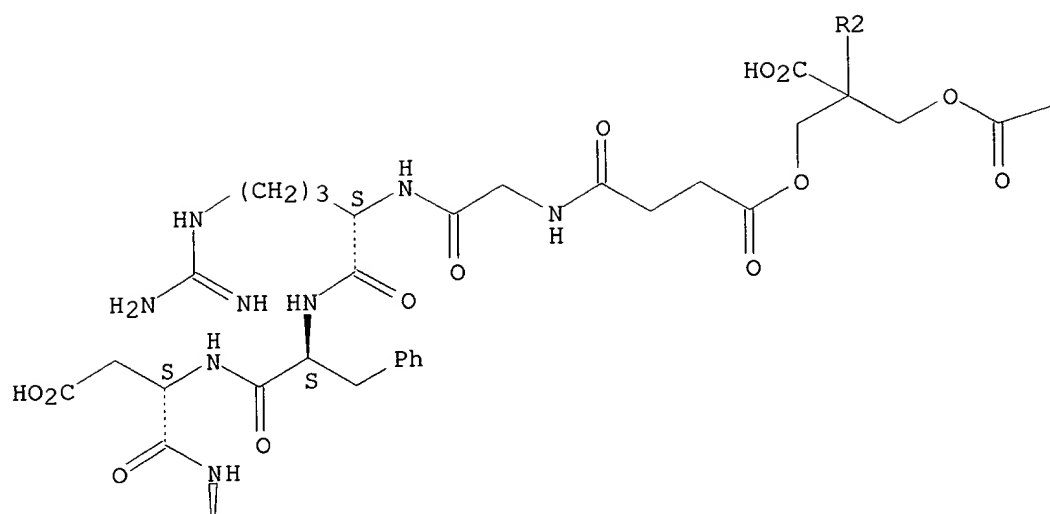
Absolute stereochemistry.

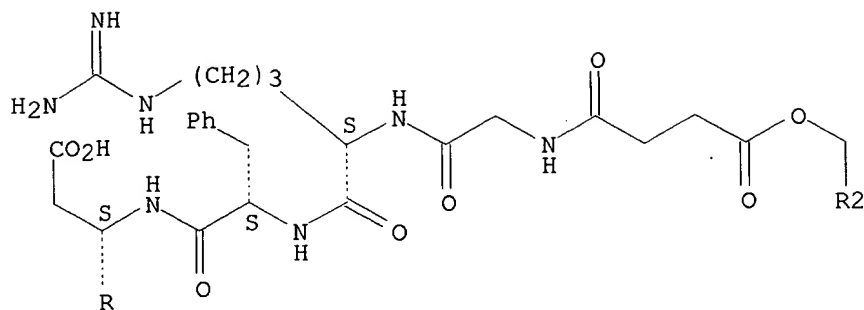


● 2 Na

RN 145880-58-6 CAPLUS
 CN L-Serine, glycyl-L-arginyl-L-phenylalanyl-L-α-aspartyl-, amide with 2-carboxy-2-[(3-carboxy-1-oxopropoxy)methyl]-1,3-propanediyl bis(hydrogen butanedioate) (3:1) (9CI) (CA INDEX NAME)

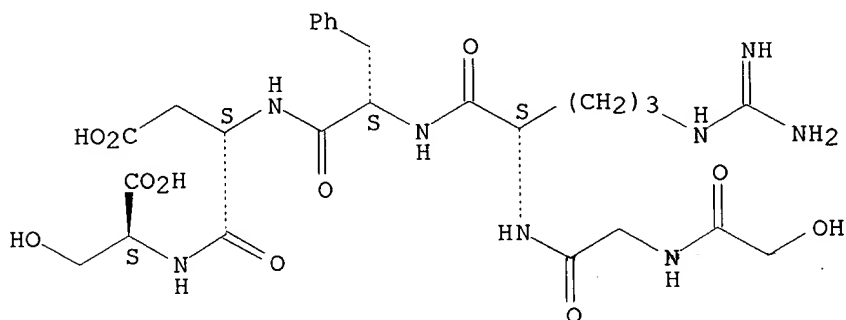
Absolute stereochemistry.





RN 145880-84-8 CAPLUS
 CN L-Serine, N-[N-[N-[N2-[N-(hydroxyacetyl)glycyl]-L-arginyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1992:443332 CAPLUS

DOCUMENT NUMBER: 117:43332

TITLE: Substrate specificity and kinetic properties of
 pepstatin-insensitive carboxyl proteinase from
 Pseudomonas sp. Number 101

AUTHOR(S): Oda, Kohei; Nakatani, Hiroshi; Dunn, Ben M.
 CORPORATE SOURCE: Fac. Agric., Univ. Osaka Prefect., Sakai, 591, Japan
 SOURCE: Biochimica et Biophysica Acta, Protein Structure and
 Molecular Enzymology (1992), 1120(2), 208-14
 CODEN: BBAEDZ; ISSN: 0167-4838

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The substrate specificity of pepstatin-insensitive **aspartic** proteinase isolated from Pseudomonas sp. Number 101 was studied by using a series of synthetic chromogenic substrates with general structure, P5-P4-P3-P2-P1*(NO₂)Phe-Arg-Leu (P5, P4, P3, P2, P1 include a variety of amino acids; (NO₂)Phe is p-nitro-L-phenylalanine). The nature of the residues occupying the P2, P3, and P4 positions as well as the P1 position had strong influences on kinetic parameters. Among those tested, Lys-Pro-Ile-Glu-Phe*(NO₂)Phe-Arg-Leu was the best substrate ($K_m = 3 \mu M$; $k_{cat} = 6.9 s^{-1}$; $k_{cat}/K_m = 2300 mM^{-1} s^{-1}$). The S2 subsite of the enzyme was found to contain one or more basic amino acids, whereas the S4 subsite probably includes one or more acidic amino acids. The pH-dependence of the hydrolysis of Ser-Pro-Ala-Lys-Phe*(NO₂)Phe-Arg-Leu was studied. The pK_1 and pK_2 values for the enzyme-substrate complex were found to be 2.97 and 4.92, resp. Coupled with other results, it appears likely that 2 active carboxyl residues are involved in the catalytic action of the enzyme. In addition, it was found that a specific peptide inhibitor of the enzyme, tyrostatin, is a competitive inhibitor with a K_i of 2.6 nM.

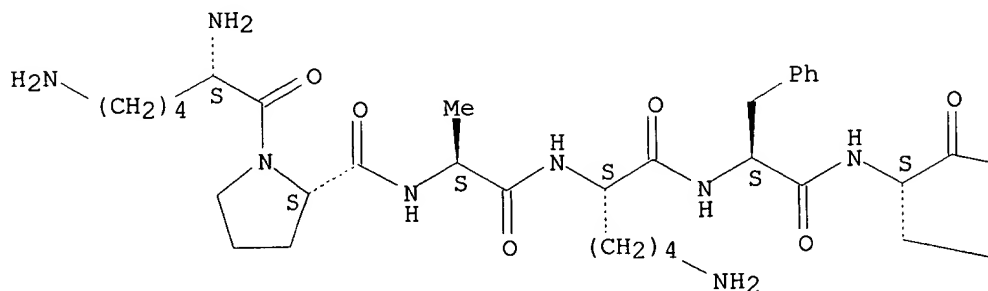
IT 142234-15-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with pepstatin-insensitive **aspartic** proteinase)

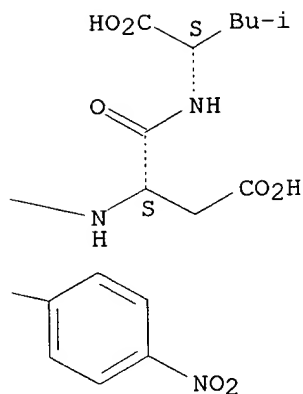
of Pseudomonas, structure in relation to)
 RN 142234-15-9 CAPLUS
 CN L-Leucine, L-lysyl-L-prolyl-L-alanyl-L-lysyl-L-phenylalanyl-4-nitro-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



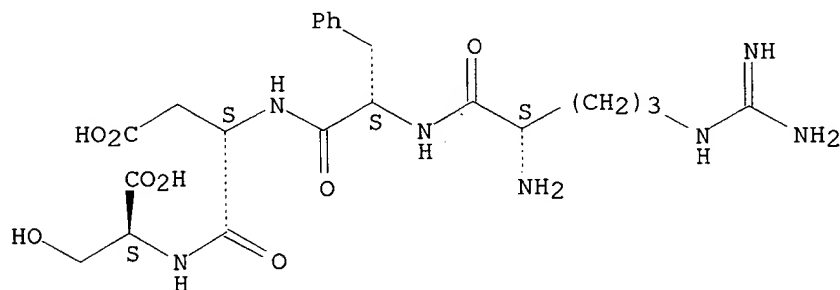
=> d 21-29 ibib abs hitstr

L9 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:79202 CAPLUS
 DOCUMENT NUMBER: 114:79202
 TITLE: Arginine-glycine-**aspartic** acid- and fibrinogen γ -chain carboxyterminal peptides inhibit platelet adherence to arterial subendothelium at high wall shear rates: an effect dissociable from interference with adhesive protein binding
 AUTHOR(S): Lawrence, Jeffry B.; Kramer, Wendy S.; McKeown, Laurie P.; Williams, Sybil B.; Gralnick, Harvey R.
 CORPORATE SOURCE: Clin. Cent., Natl. Inst. Health, Bethesda, MD, 20892, USA
 SOURCE: Journal of Clinical Investigation (1990), 86(5), 1715-22
 CODEN: JCINAO; ISSN: 0021-9738
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Arg-Gly-Asp (RGD)- and fibrinogen γ -chain C-terminal (GQQHHLGGAKQAGDV) peptides inhibit fibrinogen, fibronectin (Fn), vitronectin, and von Willebrand factor (vWF) binding to the platelet glycoprotein IIb-IIIa complex (GP IIb-IIIa). GP IIb-IIIa, vWF, and Fn are

essential for normal platelet adherence to subendothelium. Peptides were added to normal citrated whole blood before perfusion over human umbilical artery subendothelium and platelet adherence was evaluated morphometrically at high (2600 s⁻¹) and low (800 s⁻¹) wall shear rates. The effects of the peptides also were examined on platelet adhesion to collagen in a static system. At the high wall shear rate, RGDS and GQQHHLGGAKQAGDV caused dose-dependent reduction in the surface coverage with spread and adherent platelets. Amino acid transposition and conservative substitutions of RGD peptides and the AGDV peptide significantly inhibited platelet adherence at 2600 s⁻¹. By contrast, the modified RGD peptides and AGDV do not affect adhesive protein binding to platelets. None of the native or modified RGD- or fibrinogen γ -chain peptides significantly inhibited either platelet adherence to subendothelium at 800 s⁻¹ or platelet adhesion to collagen. Thus, peptides that interfere with adhesive protein binding to GP IIa-IIIa inhibit platelet adherence to vascular subendothelium with flowing blood only at high wall shear rates. Platelet adherence to subendothelium at high wall shear rates appears to be mediated by different recognition specificities from those required for fluid-phase adhesive protein binding or static platelet adhesion.

IT 102567-19-1
 RL: BIOL (Biological study)
 (blood platelet adherence to artery subendothelium of human response to, structure in relation to)
 RN 102567-19-1 CAPLUS
 CN L-Serine, L-arginyl-L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

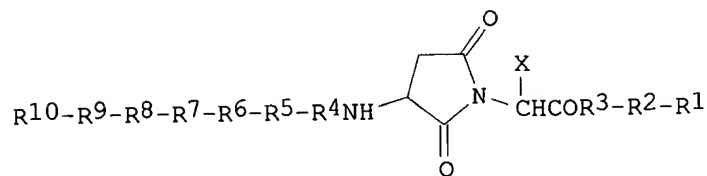


L9 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1990:151873 CAPLUS
 DOCUMENT NUMBER: 112:151873
 TITLE: Hypoglycemic peptides containing β -imido-L-aspartyl-L-asparagine
 INVENTOR(S): Hearn, Milton Thomas William; Ng, Frank Man Woon; Robson, Victoria Marie Jane; O'Donoghue, Michael Francis; Rae, Ian David
 PATENT ASSIGNEE(S): Monash University, Australia; Australasian Drug Development Ltd.
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8904323	A1	19890518	WO 1988-AU421	19881027 <--
W: AU, JP, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8826010	A1	19890601	AU 1988-26010	19881027 <--
AU 615968	B2	19911017		
EP 386044	A1	19900912	EP 1988-909274	19881027 <--

EP 386044 B1 19970108
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
AT 147268 E 19970115 AT 1988-909274 19881027 <--
CA 1341270 A1 20010710 CA 1988-581850 19881101
US 6048840 A 20000411 US 1994-221461 19940401
PRIORITY APPLN. INFO.: AU 1987-5195 A 19871102
WO 1988-AU421 A 19881027
US 1990-477975 B2 19900517
US 1992-873687 B1 19920424

GI



AB Hypoglycemic peptides I [X = H, CH₂CONH₂, (CH₂)₂CONH₂; R₁-R₃ = L- α -amino acid, δ -amino acid, ϵ -amino acid; R₄ = L or D α -amino acid, δ -amino acid, ϵ -amino acid; R₅-R₁₁ = H, L or D α -amino acid, δ -amino acid, ϵ -amino acid] or pharmaceutically acceptable salts are prepared and used to lower the level of blood glucose. At low or high insulin concns. (102 and 104 microunits/mL), peptide Leu-Ser-Arg-Leu-Phe- β -imido-Asp-Asn-Ala (0.1 μ mol/mL) significantly increased glycogen deposition by rat hemidiaphragms. The α form (human growth hormone 6-13) and the ring-opened (hydrolyzed β -imide) form were both inactive.

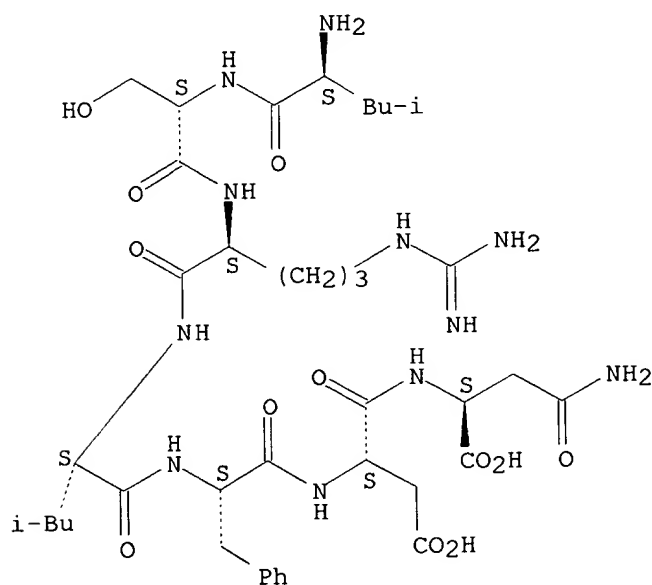
IT 125988-34-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antidiabetic)

RN 125988-34-3 CAPLUS

CN L-Asparagine, N₂-[N-[N-[N₂-(N-L-leucyl-L-seryl)-L-arginyl]-L-leucyl]-L-phenylalanyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:2231 CAPLUS

DOCUMENT NUMBER: 106:2231

TITLE: Role of ATP and enzyme-bound nascent peptides in the

control of elongation for mycobacillin synthesis
 AUTHOR(S): Ghosh, Subrata Kumar; Majumdar, Sekhar; Mukhopadhyay, Nishit Kumar; Bose, Sushil Kumar
 CORPORATE SOURCE: Dep. Biochem., Univ. Coll. Sci., Calcutta, 700019, India
 SOURCE: Biochemical Journal (1986), 240(1), 265-8
 CODEN: BIJOAK; ISSN: 0306-3275
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Enzyme fraction A, a constituent of the 3-fraction (A, B, and C) enzyme complex mycobacillin synthetase of *Bacillus subtilis*, elongated tri- and tetrapeptides, under enzyme-bound conditions, to tetra- and pentapeptides, resp., in the presence of the next amino acid (in the mycobacillin sequence). Enzyme fraction B synthesized hexapeptide from free pentapeptide and the next amino acid, but synthesized heptapeptide from hexapeptide only under enzyme-bound conditions in the presence of the next amino acid. Similarly, enzyme fraction C synthesized decapeptide from free nonapeptide in the presence of the next amino acid, but undecapeptide only from enzyme-bound decapeptide in the presence of the next amino acid during the elongation process. The K_m values for the initiating reactions for each of the 3 enzyme fractions were 6-7-fold lower than those for the succeeding reactions catalyzed by each of the enzyme fractions. The specificity of the initiation and elongation is discussed in the light of these findings.

IT 105633-90-7

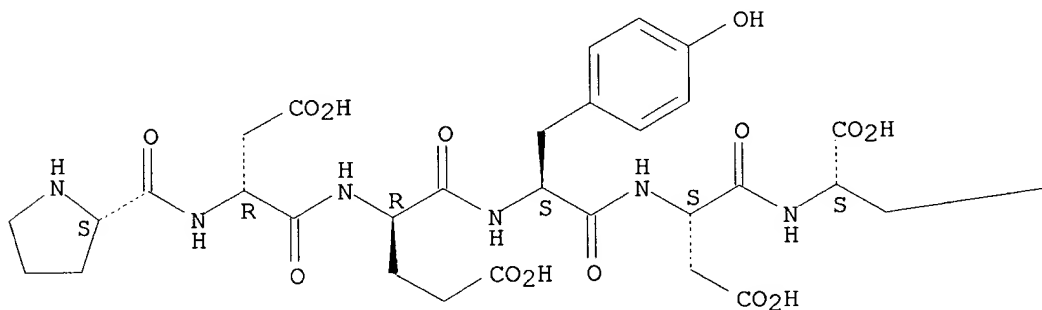
RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with mycobacillin synthetase of *Bacillus subtilis*)

RN 105633-90-7 CAPLUS

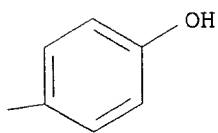
CN L-Tyrosine, N-[N-[N-[N-(N-L-prolyl-D- α -aspartyl)-D- α -glutamyl]-L-tyrosyl]-L- α -aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L9 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:210400 CAPLUS

DOCUMENT NUMBER: 100:210400

TITLE: Synthesis of six common amino acid sequence fragments of thymosins β_4 , β_8 and β_9 and determination of their effects on the low E-rosette forming cells of lupus nephritis patients

AUTHOR(S): Abiko, Takashi; Sekino, Hiroshi
 CORPORATE SOURCE: Kidney Cent., Sendai Insur. Hosp., Sendai, 980, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1984),
 32(1), 228-36
 CODEN: CPBTAL; ISSN: 0009-2363

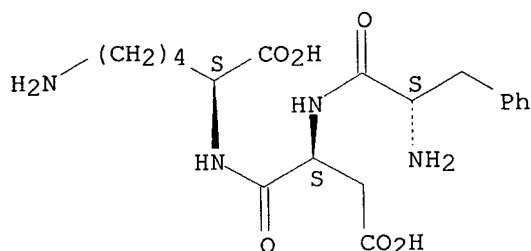
DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Title thymosin fragments H-Lys-Leu-Lys-Lys-Thr-Glu-Thr-Glu-Glu-Lys-Asn-OH (I) (sequence 16-26), H-Lys-Glu-Thr-Ile-Glu-Gln-Glu-Lys-Gln-OH (II) (sequence 31-39), H-Asp-Lys-Pro-Asp-OH (sequence 2-5), H-Phe-Asp-Lys-OH (sequence 12-14), H-Leu-Pro-OH (sequence 28-29), and H-Glu-Ile-OH (sequence 8-9) were prepared by conventional solution methods. I and II increased in vitro E-rosette-forming capacity, whereas the other 4 peptides had no effect.

IT **90236-06-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and immune enhancement activity of)

RN 90236-06-9 CAPLUS
 CN L-Lysine, L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1980:440249 CAPLUS
 DOCUMENT NUMBER: 93:40249
 TITLE: Inhibitors of procollagen N-protease. Synthetic peptides with sequences similar to the cleavage site in the pro α 1 (I) chain

AUTHOR(S): Morikawa, Tadanori; Tuderman, Leena; Prockop, Darwin J.
 CORPORATE SOURCE: Rutgers Med. Sch., Coll. Med. Dent. New Jersey, Piscataway, NJ, 08854, USA
 SOURCE: Biochemistry (1980), 19(12), 2646-50
 CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE: Journal
 LANGUAGE: English

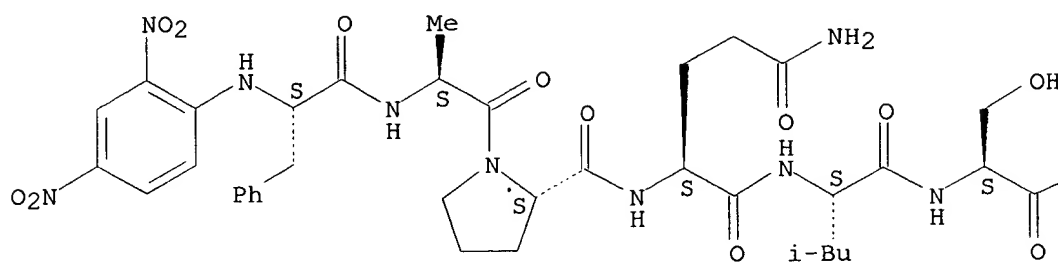
AB A series of peptides was synthesized with amino acid sequences identical with the cleavage site at which the procollagen N-protease cleaves the N-terminal propeptide from the pro α 1 chain of type I procollagen. Peptides up to 11 residues in length did not serve as substrates for the enzyme, an observation consistent with the demonstration that the N-protease will not cleave denatured procollagen or dissociated pro α chains. Several of the peptides, however, served as effective inhibitors of the cleavage of procollagen. Comparison of the inhibitor activities of peptides of varying lengths suggested that the L-phenylalanine found 3 residues to the left of the cleavage site was important for inhibitor activity. This suggestion was confirmed by synthesis of analogs of inhibitory peptides in which L-phenylalanine was replaced by D-phenylalanine, tyrosine, lysine, **aspartic acid**, or glycine.

IT **73592-07-1P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

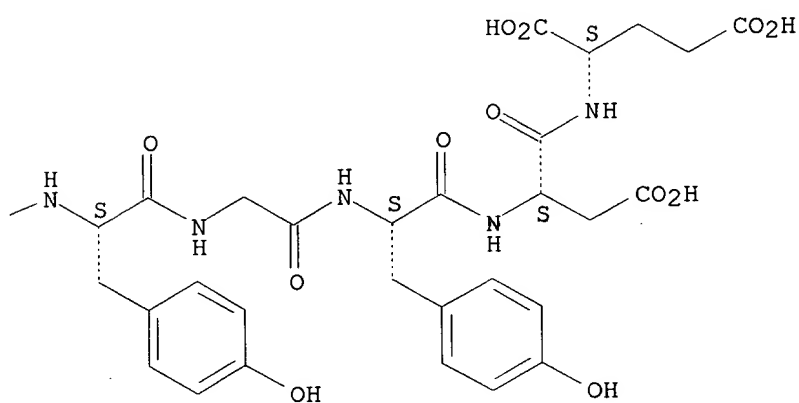
RN 73592-07-1 CAPLUS
 CN L-Glutamic acid, N-[N-[N-[N-[N-[N-[N₂-[1-[N-[N-(2,4-dinitrophenyl)-L-phenylalanyl]-L-alanyl]-L-prolyl]-L-glutaminy]-L-leucyl]-L-seryl]-L-

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 73592-03-7

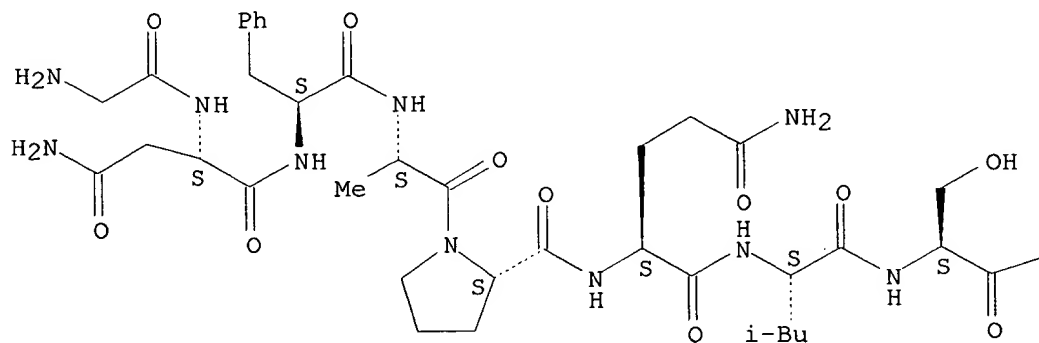
RL: BIOL (Biological study)
(procollagen N-protease inhibition by)

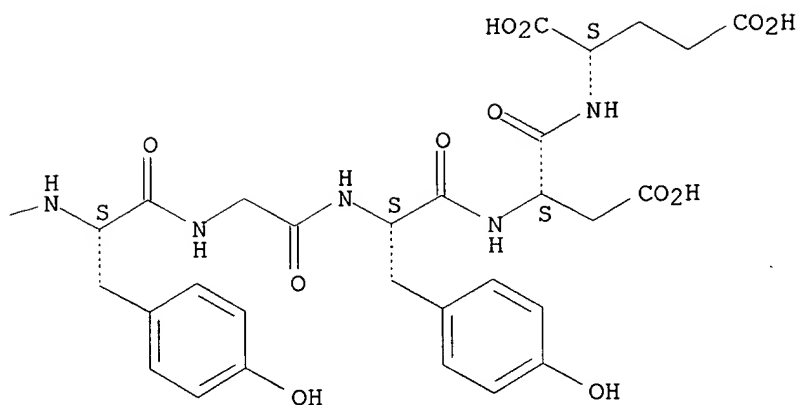
RN 73592-03-7 CAPLUS

CN L-Glutamic acid, glycyl-L-asparaginyl-L-phenylalanyl-L-alanyl-L-prolyl-L-glutamyl-L-leucyl-L-seryl-L-tyrosylglycyl-L-tyrosyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L9 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1965:448012 CAPLUS

DOCUMENT NUMBER: 63:48012

ORIGINAL REFERENCE NO.: 63:8751f-h,8752a-b

TITLE: Molecular consequences of the amber mutation and its suppression

AUTHOR(S): Stretton, A. O. W.; Brenner, S.

CORPORATE SOURCE: Med. Res. Council, Cambridge, UK

SOURCE: Journal of Molecular Biology (1965), 12(2), 456-65

CODEN: JMOBAK; ISSN: 0022-2836

DOCUMENT TYPE: Journal

LANGUAGE: English

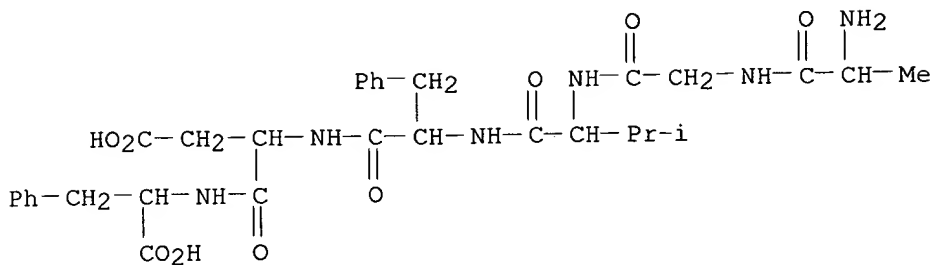
AB The peptides produced by amber mutants of the head protein of bacteriophage T4D in nonpermissive bacteria were studied. The phages T4D and the amber mutant H36 (am H36) were used with *Escherichia coli* B as the su⁻ strain and *E. coli* CR63 as the su⁺ strain containing a suppressor (sul⁺). Cultures of *E. coli* were infected with phage, then after 5 min. were superinfected to produce lysis inhibition, and 5 min. later 14C-amino acids were added. Protein was prepared from infected bacteria and phage. Large amts. of phage protein were prepared in a fermentor and purified. Labeled digests were fractionated by paper ionophoresis and the peptides were located by autoradiography. The peptides were fractionated by gel filtration on a Sephadex column, then by ion exchange on Dowex 1+2. Acid hydrolyzates of peptides were analyzed for component amino acids by an automatic analyzer. The amino acid sequences were determined using a variety of methods, including Edman degradation using the fluorescent reagent, 1-dimethylamino-5-naphthalenesulfonyl chloride, enzymic digestions with chymotrypsin, pronase, pepsin, and leucine aminopeptidase, partial acid hydrolysis, and hydrazinolysis. Tryptic digests of protein containing phenylalanine-14 C synthesized by am H36 in the su⁻ strain contained a peptide, PhT 11, not found in the wild-type or in other amber mutants. The structure of PhT 11 and PhT 12, the peptide absent in H36 and present in amber mutants which mapped to the right of H36 on ionophoresis, were determined. PhT 12 was a tridecapeptide with structure, Ala-Gly-(Val-Phe)-Asp-Phe-Gln-Asp-Pro-Ile-Asp-Ile-Arg. The structure of PhT 11 was Ala-Gly-Val-Phe-Asp-Phe. When am H36 was grown on *E. coli* CR63, PhT 11 and PhT 12 were present in equal amts. The Gln was replaced by Ser in PhT 12 from suppressed am H36. The peptide PhT 11 was found in am H36 as the N-terminal fragment of wild-type peptide PhT 12, thus the protein made by H36 must be N-terminal of whole head protein, and amber mutation must result in termination of polypeptide chain synthesis.

IT 2435-55-4, Alanine, N-[N-[N-[N-(N-alanylglycyl)valyl]-3-phenylalanyl]-α-**aspartyl**]-3-phenyl-
(formation by *Escherichia coli* infected by bacteriophage T4D)

RN 2435-55-4 CAPLUS

CN Alanine, N-[N-[N-[N-(N-alanylglycyl)valyl]-3-phenylalanyl]-α-

aspartyl]-3-phenyl- (7CI, 8CI) (CA INDEX NAME)



L9 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1963:83289 CAPLUS

DOCUMENT NUMBER: 58:83289

ORIGINAL REFERENCE NO.: 58:14346g-h, 14347a

TITLE: Postmortem lability of skeletal muscle proteins

AUTHOR(S): Scopes, R. K.; Lawrie, R. A.

CORPORATE SOURCE: Low Temp. Res. Sta., Cambridge, UK

SOURCE: Nature (London, United Kingdom) (1963), 197, 1202-3

CODEN: NATUAS; ISSN: 0028-0836

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB Beef muscle was obtained at slaughter and chilled to 0° within 1 hr. Samples were held at 0° for 20 hrs., at 37° for 4 hrs., or used at once. Homogenates were extracted with distilled water after adjusting to pH 7.0 with M tris(hydroxymethyl)aminomethane buffer. About 35 bands could be demonstrated on starch-gel electrophoresis. Several components were removed completely or diminished by the fast postmortem glycolysis which occurred at 37°. There were minor differences between fresh material and that which had a slow rate of pH fall (from about 7.3 to 5.5). The major component of pig muscle sarcoplasmic proteins (creatine phosphoryltransferase) which migrates towards the anode at pH 8.5 was markedly affected by the high temperature and low pH combination. Precipitation of the pH 5 proteins by lowering the pH of the sarcoplasmic exts., produced a fraction which, on resolution at pH 7.5, corresponded with the components affected by high-temperature treatment. In situ isoelec. precipitation of these proteins rendered them more susceptible to heat denaturation.

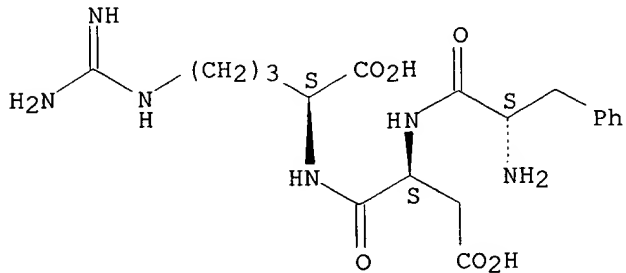
IT 100029-32-1, Arginine, N2-[N-(3-phenylalanyl)- α -aspartyl]-

(preparation of)

RN 100029-32-1 CAPLUS

RN	100029-32-1	CAN 285
CN	L-Arginine, L-phenylalanyl-L- α -aspartyl-	(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1963:83288 CAPLUS

DOCUMENT NUMBER: 58:83288

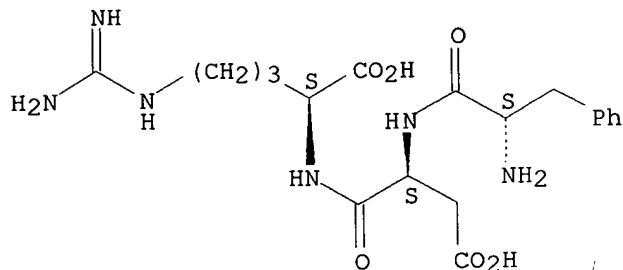
ORIGINAL REFERENCE NO.: 58:14346g

TITLE: Today's state of haptoglobin investigations
 AUTHOR(S): Mathies, H.; Schattenkirchner, M.; Schleifer, E.
 CORPORATE SOURCE: Med. Poliklin., Munich, Germany
 SOURCE: Medizinische Klinik (Muenchen, Germany) (1963
), 58, 121-7
 CODEN: MEKLA7; ISSN: 0723-5003

DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB Review with 85 references.
 IT **100029-32-1**, Arginine, N2-[N-(3-phenylalanyl)- α -
aspartyl]-
 (preparation of)
 RN 100029-32-1 CAPLUS
 CN L-Arginine, L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1963:83287 CAPLUS
 DOCUMENT NUMBER: 58:83287
 ORIGINAL REFERENCE NO.: 58:14346f-g
 TITLE: Structure of sperm whale myoglobin. III. Amino acid
 sequences of the smaller tryptic peptides containing
 aromatic residues
 AUTHOR(S): Edmundson, A. B.; Hirs, C. H. W.
 CORPORATE SOURCE: Rockefeller Inst., New York, NY
 SOURCE: Journal of Molecular Biology (1962), 5,
 706-8
 CODEN: JMOBAK; ISSN: 0022-2836

DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB Six of the 11 aromatic amino acid residues in the sperm whale I mol. are
 present in relatively small peptides that are constituents of the soluble
 fraction of tryptic hydrolysates of I. Two of these peptides are
 dipeptides. The amino acid sequences of the remaining 4 peptides (2
 tripeptides and 2 hexapeptides) were determined to be: Phe-Asp-Arg,
 Leu-Phe-Lys, Ala-Leu-Glu-Leu-Phe-Arg, and Glu-Leu-Gly-Tyr-Gly-Glu-(NH2).
 The last peptide represents the carbonyl terminal sequence of I.

IT **100029-32-1**, Arginine, N2-[N-(3-phenylalanyl)- α -
aspartyl]-
 (preparation of)
 RN 100029-32-1 CAPLUS
 CN L-Arginine, L-phenylalanyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

